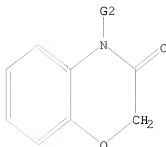


L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,N

G2 H,Cb,Ak,CH2

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 08:44:47 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 4408 TO ITERATE

45.4% PROCESSED 2000 ITERATIONS

16 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 84179 TO 92141

PROJECTED ANSWERS: 349 TO 1061

L2 16 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 08:44:56 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 88159 TO ITERATE

100.0% PROCESSED 88159 ITERATIONS

499 ANSWERS

SEARCH TIME: 00.00.02

L3 499 SEA SSS FUL L1

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COST IN U.S. DOLLARS

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FULL ESTIMATED COST

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=> s l3

L4 45 L3

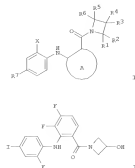
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14 ANSWER 1 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STM
 ACCESSION NUMBER: 2009:77167 CAPLUS
 DOCUMENT NUMBER: 149:104583
 TITLE: N-Arylamide derivatives as MEK inhibitors and their preparation, pharmaceutical compositions and use
 INVENTOR(S): in the treatment of cancer
 PATENT ASSIGNER(S): Lembo, Peter
 SOURCE: Kasilian, Inc., USA
 PCT INT. Appl.: 574pp.
 COORDINATOR: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PRIORITY INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008016438	A1	20080626	WO 2007-082573	20071214
W1	AB, AC, AD, AE, AF, AG, AH, AI, AJ, AK, AL, AM, AN, AO, AP, AQ, AR, AS, AT, AU, AV, AW, AX, AY, AZ, BA, BB, BC, BD, BE, BF, BG, BH, BI, BJ, BK, BL, BM, BN, BO, BP, BQ, BR, BS, BT, BU, BV, BW, BX, BY, BZ, CA, CB, CC, CD, CE, CF, CG, CH, CI, CJ, CK, CL, CM, CN, CO, CP, CQ, CR, CS, CT, CU, CV, CW, CX, CY, CZ, DA, DB, DC, DD, DE, DF, DG, DH, DI, DJ, DK, DL, DM, DN, DO, DP, DQ, DR, DS, DT, DU, DV, DW, DX, DY, DZ, EA, EB, EC, ED, EE, EF, EG, EH, EI, EJ, EK, EL, EM, EN, EO, EP, EQ, ER, ES, ET, EU, EV, EW, EX, EY, EZ, FA, FB, FC, FD, FE, FF, FG, FH, FI, FJ, FK, FL, FM, FN, FO, FP, FQ, FR, FS, FT, FU, FV, FW, FX, FY, FZ, GA, GB, GC, GD, GE, GF, GH, GI, GJ, GK, GL, GM, GN, GO, GP, GQ, GR, GS, GT, GU, GV, GW, GX, GY, GZ, HA, HB, HC, HD, HE, HF, HG, HH, HI, HJ, HK, HL, HM, HN, HO, HP, HQ, HR, HS, HT, HU, HV, HW, HX, HY, HZ, IA, IB, IC, ID, IE, IF, IG, IH, II, IJ, IK, IL, IM, IN, IO, IP, IQ, IR, IS, IT, IU, IV, IW, IX, IY, IZ, JA, JB, JC, JD, JE, JF, JG, JH, JI, JJ, JK, JL, JM, JN, JO, JP, JQ, JR, JS, JT, JU, JV, JW, JX, JY, JZ, KA, KB, KC, KD, KE, KF, KG, KH, KI, KJ, KK, KL, KM, KN, KO, KP, KQ, KR, KS, KT, KU, KV, KW, KX, KY, KZ, LA, LB, LC, LD, LE, LF, LG, LH, LI, LJ, LK, LM, LN, LO, LP, LQ, LR, LS, LT, LU, LV, LW, LX, LY, LZ, MA, MB, MC, MD, ME, MF, MG, MH, MI, MJ, MK, ML, MN, MO, MP, MQ, MR, MS, MT, MU, MV, MW, MX, MY, MZ, NA, NB, NC, ND, NE, NF, NG, NH, NI, NJ, NK, NL, NM, NO, NP, NQ, NR, NS, NT, NU, NV, NW, NX, NY, NZ, OA, OB, OC, OD, OE, OF, OG, OH, OI, OJ, OK, OL, OM, ON, OO, OP, OQ, OR, OS, OT, OU, OV, OW, OX, OY, OZ, PA, PB, PC, PD, PE, PF, PG, PH, PI, PJ, PK, PL, PM, PN, PO, PP, PQ, PR, PS, PT, PU, PV, PW, PX, PY, PZ, QA, QB, QC, QD, QE, QF, QG, QH, QI, QJ, QK, QL, QM, QN, QO, QP, QQ, QR, QS, QT, QU, QV, QW, QX, QY, QZ, RA, RB, RC, RD, RE, RF, RG, RH, RI, RJ, RK, RL, RM, RN, RO, RP, RQ, RR, RS, RT, RU, RV, RW, RX, RY, RZ, SA, SB, SC, SD, SE, SF, SG, SH, SI, SJ, SK, SL, SM, SN, SO, SP, SQ, SR, SS, ST, SU, SV, SW, SX, SY, SZ, TA, TB, TC, TD, TE, TF, TG, TH, TI, TJ, TK, TL, TM, TN, TO, TP, TQ, TR, TS, TT, TU, TV, TW, TX, TY, TZ, UA, UB, UC, UD, UE, UF, UG, UH, UI, UJ, UK, UL, UM, UN, UO, UP, UQ, UR, US, UT, UV, UW, UX, UY, UZ, VA, VB, VC, VD, VE, VF, VG, VH, VI, VJ, VK, VL, VM, VN, VO, VP, VQ, VR, VS, VT, VU, VW, VX, VY, VZ, WA, WB, WC, WD, WE, WF, WG, WH, WI, WJ, WK, WL, WM, WN, WO, WP, WQ, WR, WS, WT, WU, WV, WW, WX, WY, WZ, XA, XB, XC, XD, XE, XF, XG, XH, XI, XJ, XK, XL, XM, XN, XO, XP, XQ, XR, XS, XT, XU, XV, XW, XX, XY, XZ, YA, YB, YC, YD, YE, YF, YG, YH, YI, YJ, YK, YL, YM, YN, YO, YP, YQ, YR, YS, YT, YU, YV, YW, YX, YY, YZ, ZA, ZB, ZC, ZD, ZE, ZF, ZG, ZH, ZI, ZJ, ZK, ZL, ZM, ZN, ZO, ZP, ZQ, ZR, ZS, ZT, ZU, ZV, ZW, ZX, ZY, ZZ			

US 2008016439
 PRIORITY APPL. INFO. 1
 OTHER SOURCE(S):
 GI: MARIAT 149:104583

14 ANSWER 1 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STM (Continued)



AB The invention provides methods of treating cancer by administering a compound of formula I, or a pharmaceutically acceptable salt or solvate thereof, in combination with other cancer treatments. Comps. of formula I wherein ring A is (unsubstituted heterocycloalkyl) X is (haloalkyl, halo and haloalkyl) R1, R2, R3, R4, R5 and R6 are independently H, halo, NO2, NH2 and derivative, OR and derivative, HSO3H and derivative, CO, OH and derivative, etc.; R1 is H, halo and alkyl; and their pharmaceutically acceptable salts and solvates thereof are claimed. Example compound II

was prepared by addition of 3,4-difluoro-2-[10-fluoro-4-(2-oxo-2-phenyl-1-imidazol-1-yl)-2,3,4-trihydro-1H-benzopyran-3-yl]acetic acid with acetic acid in hydrochloric acid. All the invention comds. were evaluated for their MEK inhibitory activity (same data given)

IT 2008016439, 6-[1-Hydroxy-3-oxo-2-piperidin-4-yl-2,3-dihydro-1H-imidazol-1-yl]-2,3,4-trihydro-1H-benzopyran-3-yl]-acetic acid (R1: H; R2: H; R3: H; R4: H; R5: H; R6: H; R7: H; R8: H; R9: H; R10: H; R11: H; R12: H; R13: H; R14: H; R15: H; R16: H; R17: H; R18: H; R19: H; R20: H; R21: H; R22: H; R23: H; R24: H; R25: H; R26: H; R27: H; R28: H; R29: H; R30: H; R31: H; R32: H; R33: H; R34: H; R35: H; R36: H; R37: H; R38: H; R39: H; R40: H; R41: H; R42: H; R43: H; R44: H; R45: H; R46: H; R47: H; R48: H; R49: H; R50: H; R51: H; R52: H; R53: H; R54: H; R55: H; R56: H; R57: H; R58: H; R59: H; R60: H; R61: H; R62: H; R63: H; R64: H; R65: H; R66: H; R67: H; R68: H; R69: H; R70: H; R71: H; R72: H; R73: H; R74: H; R75: H; R76: H; R77: H; R78: H; R79: H; R80: H; R81: H; R82: H; R83: H; R84: H; R85: H; R86: H; R87: H; R88: H; R89: H; R90: H; R91: H; R92: H; R93: H; R94: H; R95: H; R96: H; R97: H; R98: H; R99: H; R100: H; R101: H; R102: H; R103: H; R104: H; R105: H; R106: H; R107: H; R108: H; R109: H; R110: H; R111: H; R112: H; R113: H; R114: H; R115: H; R116: H; R117: H; R118: H; R119: H; R120: H; R121: H; R122: H; R123: H; R124: H; R125: H; R126: H; R127: H; R128: H; R129: H; R130: H; R131: H; R132: H; R133: H; R134: H; R135: H; R136: H; R137: H; R138: H; R139: H; R140: H; R141: H; R142: H; R143: H; R144: H; R145: H; R146: H; R147: H; R148: H; R149: H; R150: H; R151: H; R152: H; R153: H; R154: H; R155: H; R156: H; R157: H; R158: H; R159: H; R160: H; R161: H; R162: H; R163: H; R164: H; R165: H; R166: H; R167: H; R168: H; R169: H; R170: H; R171: H; R172: H; R173: H; R174: H; R175: H; R176: H; R177: H; R178: H; R179: H; R180: H; R181: H; R182: H; R183: H; R184: H; R185: H; R186: H; R187: H; R188: H; R189: H; R190: H; R191: H; R192: H; R193: H; R194: H; R195: H; R196: H; R197: H; R198: H; R199: H; R200: H; R201: H; R202: H; R203: H; R204: H; R205: H; R206: H; R207: H; R208: H; R209: H; R210: H; R211: H; R212: H; R213: H; R214: H; R215: H; R216: H; R217: H; R218: H; R219: H; R220: H; R221: H; 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L4 ANSWER 2 OF 45 CAPSUS COPYRIGHT 2008 ACS ON STM (Continued)
 AB The present invention provides a new class of heterocyclic MMP-2 and/or
 MMP-13 inhibiting compounds. I [R1 = H, alkyl, haloalkyl, etc.; R2 = H,
 alkyl; or NR3R2 = 2-3 membered ring containing C atoms and optionally
 containing a

heteroatom selected from O, S, or NR3; R3 = H, alkyl, cycloalkyl, etc.;
 R31 = H, OR, halo, etc.; R50 = H, alkyl, aryl, etc.; L1 = CH₂, R1 = C,

N with the proviso that both L1 and not N and that the bond between L1 and L2
 is optionally a double bond only if both L1 and L2 are C, R1 = C, R2 = C,
 NR3R2, OR3R2, OR3, R20 = H, alkyl; R21 = (substituted bicyclic or
 tricyclic fused ring system, wherein at least one ring is partially
 saturated.

W = (substituted 5-6 membered heterocycloalkyl, heterocyclic x =
 O-1) that exhibit an increased potency and selectivity in relation to
 currently known MMP-13 and MMP-3 inhibitors. Two hundred compounds. I were
 prepared. E.g., a multi-step synthesis of T1, starting from Et-
 2-oxo-1-ethoxyacrylate and di-Et ammonium salt hydrochloride, was

given.
 Exemplified compounds. I were tested against MMP-13 and MMP-3 (data given
 for

representative compounds. T1). Compounds. I may be used in the treatment of
 metalloproteinase mediated diseases, such as rheumatoid arthritis,
 osteoarthritis, abdominal aortic aneurysm, cancer, inflammation,
 osteoporosis, multiple sclerosis, chronic obstructive pulmonary
 disease, ocular diseases, neuro- diseases, psychiatric diseases,
 rheobiosis, bacterial infection, Parkinson's disease, fatigue, tremor,
 diabetic retinopathy, vascular diseases of the retina, aging, dementia,
 cardiomyopathy, renal tubular impairment, diabetes, psychosis,

dyskinesia,
 pulmonary abnormalities, deafness, inflammatory and fibrotic syndromes,
 intestinal bowel syndrome, allergies, Alzheimer's disease, arterial

plaque
 formation, periodontal, viral infection, stroke, cardiovascular diseases,
 reperfusion injury, trauma, chemical exposure or oxidative damage to

tissues,
 wound healing, hemorrhoid, skin beautifying, pain, inflammatory pain,

bone
 pain and joint pain. Pharmaceutical composition comprising the compound
 disclosed.

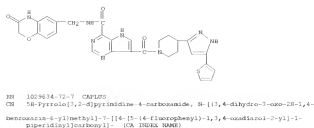
I 3a
 IT 1019634-69-2P 1029634-72-TP 1029634-79-6P
 3a PAC (Pharmacological activity); 3P (Synthetic preparation); 7P (Preparation of heterocyclic matrix metalloproteinase inhibitors)

3b 1029634-69-2 CAPSUS
 CH 5b-Pyrrolidin-2-ylpyrimidine-4-carboxamide, N-[(1,4-dihydro-3-oxo-2H-1,4-
 benzoxazin-6-yl)methyl]-1-[(1S)-(1S-thienyl)-1H-pyrazol-3-yl]-1-
 piperidinyl]carbamoyl]- (CA INDEX NAME)

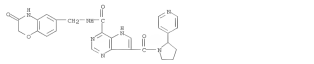
L4 ANSWER 2 OF 45 CAPSUS COPYRIGHT 2008 ACS ON STM (Continued)
 REFERENCE COUNTER: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE M

FORMAT

L4 ANSWER 2 OF 45 CAPSUS COPYRIGHT 2008 ACS ON STM (Continued)



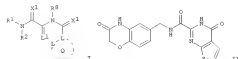
3b 1029634-79-4 CAPSUS
 CH 5b-Pyrrolidin-2-ylpyrimidine-4-carboxamide, N-[(1,4-dihydro-3-oxo-2H-1,4-
 benzoxazin-6-yl)methyl]-1-[(1S)-(1S-thienyl)-1H-pyrazol-3-yl]-1-
 piperidinyl]carbamoyl]- (CA INDEX NAME)



L4 ANSWER 3 OF 45 CAPSUS COPYRIGHT 2008 ACS ON STM
 ACCESSION NUMBER: 2008-109952 CAPSUS
 DOCUMENT NUMBER: 14910014
 TITLE: Preparation of heterocyclic metalloproteinase inhibitors
 INVENTOR(S): Geyer, Christian; Schneider, Matthias; Chevrier, Carline; Deng, Hongbo; Suckalek, Irving; Gallagher, Brian M., Jr.; Roelke, Michael; Stenmark, Christoph; Wu, Xinyuan; Hochberger, Matthias; Noll, Bert; Tavares, Arthur
 PATENT ASSIGNEE(S): Alantox Pharmaceuticals Holding, Inc., USA
 SOURCE: ECT Int. Appl., 13pp.
 CODE: P1002
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNTRY: 2
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006063668	AI	20060529	WO 2007-0524363	20071110
W1	AE, AG, AL, AM, AT, AU, BA, BB, BG, BR, CA, CH, CN, CO, CU, CY, DE, DK, DM, DO, ES, FI, FR, GB, GR, GU, HK, HU, IL, IN, JP, KR, KZ, LB, LU, LV, MA, MC, MD, ME, MG, MK, MN, MU, MY, NZ, PA, PE, PG, PH, PL, PT, RO, RS, RU, SD, SE, SG, SI, SK, SL, SM, SN, SV, TH, TM, TR, TT, UA, US, UZ, VC, VN, ZA, ZM, ZW			
M01	AE, AG, AL, AM, AT, AU, BA, BB, BG, BR, CA, CH, CN, CO, CU, CY, DE, DK, DM, DO, ES, FI, FR, GB, GR, GU, HK, HU, IL, IN, JP, KR, KZ, LB, LU, LV, MA, MC, MD, ME, MG, MK, MN, MU, MY, NZ, PA, PE, PG, PH, PL, PT, RO, RS, RU, SD, SE, SG, SI, SK, SL, SM, SN, SV, TH, TM, TR, TT, UA, US, UZ, VC, VN, ZA, ZM, ZW			
CH, CU, CY, DE, DK, DM, DO, ES, FI, FR, GB, GR, GU, HK, HU, IL, IN, JP, KR, KZ, LB, LU, LV, MA, MC, MD, ME, MG, MK, MN, MU, MY, NZ, PA, PE, PG, PH, PL, PT, RO, RS, RU, SD, SE, SG, SI, SK, SL, SM, SN, SV, TH, TM, TR, TT, UA, US, UZ, VC, VN, ZA, ZM, ZW				
US 2006027667	AI	20060828	US 2007-066603	20071110
PRIORITY APPL. INFO.			US 2006-060195 P	20061110

OTHER SOURCE(S): MARPAT 14910034
 01



AB The present invention relates generally to asabiocyclic containing
 pharmaceutical agents, and in particular, to asabiocyclic metalloproteinase
 inhibiting compounds. More particularly, the present invention provides a
 new class of asabiocyclic MMP-2, MMP-3 and/or MMP-13 inhibiting compounds. I
 [R1 = heterocycloalkyl fused aryl, heterocycloalkyl fused heterocyclic,
 heterocycloalkyl fused arylalkyl, heterocycloalkyl fused

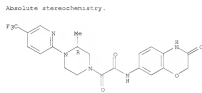
L4 ANSWER 5 OF 45 CAPSUS COPYRIGHT 2008 ACS ON STM (Continued)
 ACCESSION NUMBER: 2007:939699 CAPSUS
 147123215
 DOCUMENT NUMBER:
 TITLE: Preparation of piperazines and related compounds as
 NR1/NR2 receptor antagonists
 INVENTOR(S): Masumi, Akitio; Tsuno, Naoki
 SILENCER: Shunogi & Co., Ltd., Japan
 PATENT ASSIGNER(S): PCT Int. Appl., 179pp.
 SOURCE: COBRI: P10A02
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PRIORITY INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NO 2007099328	A1	20070907	WO 2007:939699	20070221
W1	AR, AG, AL, AN, AT, AU, AC, AD, AE, AF, AG, AH, AI, AJ, AK, AL, AM, AN, AO, AP, AQ, AR, AS, AT, AU, AV, AW, AX, AY, AZ, BA, BB, BC, BD, BE, BF, BG, BH, BI, BJ, BK, BL, BM, BN, BO, BP, BQ, BR, BS, BT, BU, BV, BW, BY, BZ, CA, CB, CC, CD, CE, CF, CG, CH, CI, CJ, CK, CL, CM, CN, CO, CP, CQ, CR, CS, CT, CU, CV, CW, CX, CY, CZ, DA, DB, DD, DE, DF, DG, DH, DI, DJ, DK, DL, DM, DN, DO, DP, DQ, DR, DS, DT, DU, DV, DW, DX, DY, DZ, EA, EB, EC, ED, EE, EF, EG, EH, EI, EJ, EK, EL, EM, EN, EO, EP, EQ, ER, ES, ET, EU, EV, EW, EX, EY, EZ, FA, FB, FC, FD, FE, FF, FG, FH, FI, FJ, FK, FL, FM, FN, FO, FP, FQ, FR, FS, FT, FU, FV, FW, FX, FY, FZ, GA, GB, GC, GD, GE, GF, GH, GI, GJ, GK, GL, GM, GN, GO, GP, GQ, GR, GS, GT, GU, GV, GW, GX, GY, GZ, HA, HB, HC, HD, HE, HF, HG, HH, HI, HJ, HK, HL, HM, HN, HO, HP, HQ, HR, HS, HT, HU, HV, HW, HX, HY, HZ, IA, IB, IC, ID, IE, IF, IG, IH, II, IJ, IK, IL, IM, IN, IO, IP, IQ, IR, IS, IT, IU, IV, IW, IX, IY, IZ, JA, JB, JC, JD, JE, JF, JG, JH, JI, JJ, JK, JL, JM, JN, JO, JP, JQ, JR, JS, JT, JU, JV, JW, JX, JY, JZ, KA, KB, KC, KD, KE, KF, KG, KH, KI, KJ, KK, KL, KM, KN, KO, KP, KQ, KR, KS, KT, KU, KV, KW, KX, KY, KZ, LA, LB, LC, LD, LE, LF, LG, LH, LI, LJ, LK, LM, LN, LO, LP, LQ, LR, LS, LT, LU, LV, LW, LX, LY, LZ, MA, MB, MC, MD, ME, MF, MG, MH, MI, MJ, MK, ML, MN, MO, MP, MQ, MR, MS, MT, MU, MV, MW, MX, MY, MZ, NA, NB, NC, ND, NE, NF, NG, NH, NI, NJ, NK, NL, NM, NO, NP, NQ, NR, NS, NT, NU, NV, NW, NX, NY, NZ, OA, OB, OC, OD, OE, OF, OG, OH, OI, OJ, OK, OL, OM, ON, OO, OP, OQ, OR, OS, OT, OU, OV, OW, OX, OY, OZ, PA, PB, PC, PD, PE, PF, PG, PH, PI, PJ, PK, PL, PM, PN, PO, PP, PQ, PR, PS, PT, PU, PV, PW, PX, PY, PZ, QA, QB, QC, QD, QE, QF, QG, QH, QI, QJ, QK, QL, QM, QN, QO, QP, QQ, QR, QS, QT, QU, QV, QW, QX, QY, QZ, RA, RB, RC, RD, RE, RF, RG, RH, RI, RJ, RK, RL, RM, RN, RO, RP, RQ, RR, RS, RT, RU, RV, RW, RX, RY, RZ, SA, SB, SC, SD, SE, SF, SG, SH, SI, SJ, SK, SL, SM, SN, SO, SP, SQ, SR, SS, ST, SU, SV, SW, SX, SY, SZ, TA, TB, TC, TD, TE, TF, TG, TH, TI, TJ, TK, TL, TM, TN, TO, TP, TQ, TR, TS, TT, TU, TV, TW, TX, TY, TZ, UA, UB, UC, UD, UE, UF, UG, UH, UI, UJ, UK, UL, UM, UN, UO, UP, UQ, UR, US, UT, UV, UW, UX, UY, UZ, VA, VB, VC, VD, VE, VF, VG, VH, VI, VJ, VK, VL, VM, VN, VO, VP, VQ, VR, VS, VT, VU, VV, VW, VX, VY, VZ, WA, WB, WC, WD, WE, WF, WG, WH, WI, WJ, WK, WL, WM, WN, WO, WP, WQ, WR, WS, WT, WU, WV, WW, WX, WY, WZ, XA, XB, XC, XD, XE, XF, XG, XH, XI, XJ, XK, XL, XM, XN, XO, XP, XQ, XR, XS, XT, XU, XV, XW, XX, XY, XZ, YA, YB, YC, YD, YE, YF, YG, YH, YI, YJ, YK, YL, YM, YN, YO, YP, YQ, YR, YS, YT, YU, YV, YW, YX, YY, YZ, ZA, ZB, ZC, ZD, ZE, ZF, ZG, ZH, ZI, ZJ, ZK, ZL, ZM, ZN, ZO, ZP, ZQ, ZR, ZS, ZT, ZU, ZV, ZW, ZX, ZY, ZZ			

PRIORITY APPL. INFO.:
 JP 2006-46740 A 20060223
 JP 2006-149750 A 20060330
 JP 2006-318760 A 20061127

OTHER SOURCE(S):
 01 MARPAT 147123215

L4 ANSWER 5 OF 45 CAPSUS COPYRIGHT 2008 ACS ON STM (Continued)
 NO 948021-99-4 CAPSUS
 CD 1-4-piperazinecarboxamide, N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-3-methyl-4-oxo-4-[5-(trifluoromethyl)-2-pyridinyl]-, (3R)- (CA INDEX NAME)
 Absolute stereochemistry.



REFERENCE COUNT: 177 THERE ARE 177 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RECORD

L4 ANSWER 5 OF 45 CAPSUS COPYRIGHT 2008 ACS ON STM (Continued)



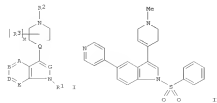
AB Title compds. I [R = H or CH₃; A1 = (un)substituted nitrogenous aromatic mono-cyclic group or (un)substituted nitrogenous aromatic fused-cyclic group
 [wherein said nitrogenous aromatic mono- and fused-cyclic groups have either at least one group selected from (un)protected hydroxy, (un)protected amino and (un)substituted alkenoxy or -SR in the ring]; A2 = (un)substituted aromatic carbocyclic group or (un)substituted aromatic heterocyclic group, B1, B2 = R, hydrogen or alkyl; B3 and B4 may combine to form a single bond; R = H or alkyl; w = 2, 3; t = 1, 2; X = -[CR3(R4)]-, -[C(R3)(R4)]-, -[C(R3)(R4)]CO-, etc.; n = 1-4; m = 0-4; B3, B4 = H, halo, hydroxy, etc.), or pharmaceutically acceptable salts, solvates thereof were prepared for example, EDAC mediated alkylation of phthalimide with 2-[1-[4-(trifluoromethyl)phenyl]piperidin-4-yl]ethanol, e.g., prepared from 1-fluoro-4-trifluoromethylbenzene, followed by treatment with NEHNE-H2O and acylation with 6-hydroxynicotinic acid using EDC afforded compound II. In NR2B receptor binding assays, compound II exhibited the IC50 value of 0.033 μM. Of note, compds. I are useful as analgesics, etc.
 IT 948021-99-4
 RU PAC (Pharmacological activity); STM (Synthetic preparation); THU (Therapeutic use); EUG (Biological study); PREP (Preparation); UDES (Uses)
 [preparation of piperazines and related compounds as NR1/NR2B receptor antagonists]

L4 ANSWER 6 OF 45 CAPSUS COPYRIGHT 2008 ACS ON STM (Continued)
 ACCESSION NUMBER: 2007:948021 CAPSUS
 147123294
 DOCUMENT NUMBER:
 TITLE: Preparation of heterocyclic compounds having 1-RTS receptor affinity for treating CNS, gastrointestinal, and polytastamine-repeat disorders
 INVENTOR(S): Dunn, Robert; Nguyen, Tran Minh; Lee, Weng; Tehan, Ashok
 PATENT ASSIGNER(S): Memory Pharmaceuticals Corporation, USA
 SOURCE: PCT Int. Appl., 179pp.
 COBRI: P10A02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PRIORITY INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007098418	A1	20070830	WO 2007-086234D	20070216
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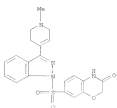
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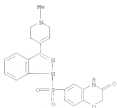


AB The present disclosure provides compounds, having affinity for the 5HT_{2B} receptor which are of the formula I wherein A, R, B, K and G are CH, CR

14 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

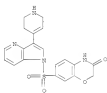


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 CH 28-1,4-benzoxazin-3(4H)-one, 6-[[3-[[1,2,3,6-tetrahydro-1-methyl-4-pyridinyl]-1H-indazol-3-yl]sulfonyl]- (CA INDEX NAME)

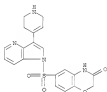


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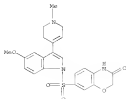
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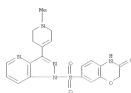
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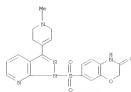
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14 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

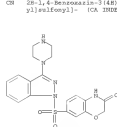


RII 947498-20-6 CAPLUS
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RII 947498-31-9 CAPLUS
 CH 28-1,4-benzoxazin-3(4H)-one, 7-[[3-[[1,2,3,6-tetrahydro-4-pyridinyl]-1H-pyrazolo[3,2-b]pyridin-1-yl]sulfonyl]- (CA INDEX NAME)

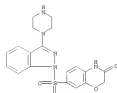
14 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RII 947498-41-1 CAPLUS
 CH Formic acid, compd, with 7-[[3-[[1-piperazinyl]-1H-indazol-1-yl]sulfonyl]-28-1,4-benzoxazin-3(4H)-one (1:1) (CA INDEX NAME)

CH 1

CHP C19 R19 HS 04 8



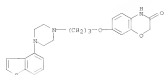
CH 2

CHP 64-18-6
 CHP C R2 02

O=C=O

RII 947498-42-2 CAPLUS

14 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RII 928222-73-5 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]- (CA INDEX NAME)

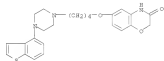


IT 928222-72-4P 928222-74-6P 928222-94-0P
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 928222-16-3P 928222-17-0P 928224-66-8P
 928224-69-1P 928232-58-0P 928232-61-3P
 IIA PAC (Pharmacological activity); SPR (Synthetic preparation); TEO (Toxicological use); BICL (Biological study); PREP (Preparation); UDSR (Uses)

as [Preparation of benzo[b]thiophen-4-yl-piperazine and related compounds]
 antipsychotic agents for the treatment of mental disorders)

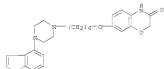
RII 928222-72-4 CAPLUS
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14 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

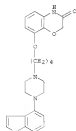


• H BICL

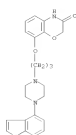
RII 928222-95-1 CAPLUS
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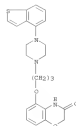
RII 928222-96-2 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 8-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butoxy]- (CA INDEX NAME)



14 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

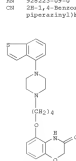


RII 928222-74-6 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 5-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]- (CA INDEX NAME)

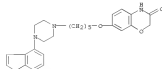


RII 928222-94-0 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butoxy]-, hydrochloride (1:1) (CA INDEX NAME)

14 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

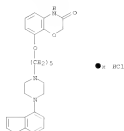


RII 928222-11-4 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 7-[15-(4-benzo[b]thien-4-yl-1-piperazinyl)pentyl]oxy]- (CA INDEX NAME)



RII 928222-14-7 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 8-[15-(4-benzo[b]thien-4-yl-1-piperazinyl)pentyl]oxy]-, hydrochloride (1:1) (CA INDEX NAME)

14 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

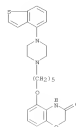


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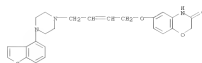


FN 928223-16-9 CAPLUS
CN 28-2,4-benzoxazin-3(4H)-one, 5-[[5-(4-benzo[b]thien-4-yl-1-piperidinyl)pentyl]oxy]- (CA 33026X NAME)

14 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

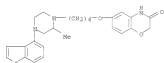


FN 928223-17-0 CAPLUS
CN 28-1,4-benzoxazin-3(4H)-one, 6-[[4-(4-benzo[b]thien-4-yl-1-piperidinyl)-2-buten-1-yl]oxy]- (CA 33026X NAME)

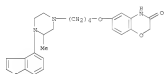


FN 928226-66-8 CAPLUS
CN 28-1,4-benzoxazin-3(4H)-one, 6-[4-(4-benzo[b]thien-4-yl-2-methyl-1-piperidinyl)butoxy]-, hydrochloride (1:2) (CA 33026X NAME)

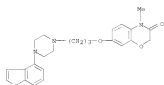
14 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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CN 28-2,4-benzoxazin-3(4H)-one, 6-[[4-(4-benzo[b]thien-4-yl-3-methyl-1-piperidinyl)butoxy]-, hydrochloride (1:2) (CA 33026X NAME)



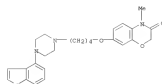
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FN 928232-81-9 CAPLUS

14 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CN 28-1,4-benzoxazin-3(4H)-one, 7-[4-(4-benzothien-4-yl-1-piperidinyl)butoxy]-4-methyl- (CA 33026X NAME)



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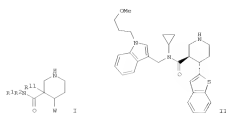
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 INVENTOR(S): Khatia, Tatyana; Hiteoi, Yuko; Konishi, Kazuhiko;
 Masuya, Masahiko
 PATENT ASSIGNEE(S): Novartis A.G.; Switz.; Novartis Pharma G.m.b.H.
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 DOCUMENT TYPE: Patent
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 PATENT INFORMATION(S): 1

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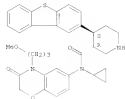
14 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



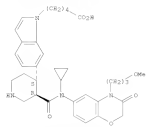
AS Title compd. (1) R1 = H, (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, cycloalkyl, R2 = (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, cycloalkyl, aryl; M = (substituted) polycyclic heterocyclyl, aryl; R3 = H, OR, halo, alkyl, haloalkyl, cycloalkyl, haloalkyl, alkoxy, haloalkoxy, epoxy, were prepared as anti-isomers (see data). Thus, title compound (1) was prepared in several steps from 4-trifluoromethanesulfonyl-5,6-dihydro-2H-pyridine-1,3-dicarboxylic acid 1-tert-butyl ester, 2-benzyloxycarbonyl-2-oxo-3-phenylpropanoic acid, indole-3-carboxaldehyde, toluene-4-sulfonic acid 3-methoxypropyl ester, and cyclopropylamine.

IT 916149-33-2P 916149-36-5P 916149-40-1P 916149-41-2P 916149-42-3P 916149-43-4P 916149-44-5P 916149-45-6P 916149-46-7P 916149-47-8P 916149-48-9P 916149-49-10P 916149-50-11P 916149-51-12P 916149-52-13P 916149-53-14P 916149-54-15P 916149-55-16P 916149-56-17P 916149-57-18P 916149-58-19P 916149-59-20P 916149-60-21P 916149-61-22P 916149-62-23P 916149-63-24P 916149-64-25P 916149-65-26P 916149-66-27P 916149-67-28P 916149-68-29P 916149-69-30P 916149-70-31P 916149-71-32P 916149-72-33P 916149-73-34P 916149-74-35P 916149-75-36P 916149-76-37P 916149-77-38P 916149-78-39P 916149-79-40P 916149-80-41P 916149-81-42P 916149-82-43P 916149-83-44P 916149-84-45P 916149-85-46P 916149-86-47P 916149-87-48P 916149-88-49P 916149-89-50P 916149-90-51P 916149-91-52P 916149-92-53P 916149-93-54P 916149-94-55P 916149-95-56P 916149-96-57P 916149-97-58P 916149-98-59P 916149-99-60P 916149-100-61P 916149-101-62P 916149-102-63P 916149-103-64P 916149-104-65P 916149-105-66P 916149-106-67P 916149-107-68P 916149-108-69P 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14 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

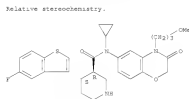


RN 916149-42-7 CAPLUS
CN 3-(4-benzoxazin-6-yl)-N-(1-cyclopropyl-4-dihydro-6-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl)amino)carbamoyl)-4-piperidinyl)-, rel.- (CA INDEX NAME)
Relative stereochemistry.

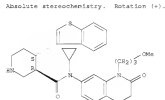


RN 916149-43-6 CAPLUS
CN 3-(4-benzoxazin-6-yl)-N-(1-cyclopropyl-4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-N-(3-(4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-4-((1-[3,4-dimethoxyphenyl]methyl)-1H-indol-6-yl))-, (3R,4S)-rel.- (CA INDEX NAME)
Relative stereochemistry.

14 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



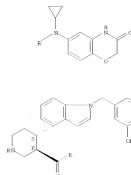
RN 916149-50-3 CAPLUS
CN 3-(4-benzoxazin-6-yl)-N-(1-cyclopropyl-4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-N-(3-(4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-4-((1-[3,4-dimethoxyphenyl]methyl)-1H-indol-6-yl))-, (3R,4S)-rel.- (CA INDEX NAME)
Absolute stereochemistry. Notation (+).



RN 916149-51-4 CAPLUS
CN 3-(4-benzoxazin-6-yl)-N-(1-cyclopropyl-4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-N-(3-(4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-4-((1-[3,4-dimethoxyphenyl]methyl)-1H-indol-6-yl))-, (3R,4S)-rel.- (CA INDEX NAME)
Absolute stereochemistry. Notation (-).

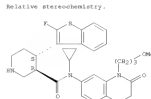
Relative stereochemistry.

14 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



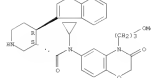
PAGE 3-A

RN 916149-45-6 CAPLUS
CN 3-(4-benzoxazin-6-yl)-N-(1-cyclopropyl-4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-N-(3-(4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-4-((1-[3,4-dimethoxyphenyl]methyl)-1H-indol-6-yl))-, (3R,4S)-rel.- (CA INDEX NAME)
Relative stereochemistry.

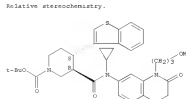


RN 916149-49-0 CAPLUS
CN 3-(4-benzoxazin-6-yl)-N-(1-cyclopropyl-4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-N-(3-(4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-4-((1-[3,4-dimethoxyphenyl]methyl)-1H-indol-6-yl))-, (3R,4S)-rel.- (CA INDEX NAME)
Relative stereochemistry.

14 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

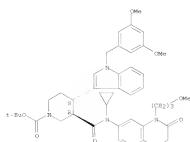


IT 916150-13-5P 916150-15-7P 916150-16-8P
916150-21-8P 916150-23-9P 916150-24-0P
916150-25-1P 916150-26-2P 916150-27-3P
916150-41-9P 916150-48-6P 916150-52-2P
916150-53-3P
R1 RCT (Reactant) RW (Synthetic preparation) PREP (Preparation) NACT (Reactant or reagent)
R2 (Preparation of piperidinecarbamates as reagent inhibitors)
R3 916150-13-5 CAPLUS
CN 1-piperidinecarboxylic acid, 4-benzo[b]thien-3-yl-3-[[cyclopropyl]3,4-dihydro-6-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino)carbamoyl]-, 1,1-dimethylethyl ester, (3R,4S)-rel.- (CA INDEX NAME)
Relative stereochemistry.



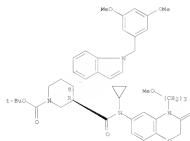
RN 916150-15-7 CAPLUS
CN 1-piperidinecarboxylic acid, 3-[[cyclopropyl]3,4-dihydro-6-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino)carbamoyl]-4-[[1-[[3,4-dimethoxyphenyl]methyl]-1H-indol-3-yl]-, 1,1-dimethylethyl ester, (3R,4S)-rel.- (CA INDEX NAME)
Relative stereochemistry.

L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



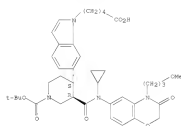
920 91615Q-16-8 CAPLOS
C20 1-Piperidinecarboxylic acid, 3-[[cyclopropyl[3,4-dihydro-4-[3-methoxypropyl]-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-[1-[(3,5-dimethoxyphenyl)methyl]-1H-indol-4-yl]-, 1,1-dimethylethyl ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



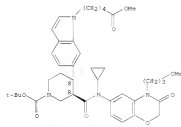
920 916150-32-8 CAPL/03

14 ANSWER 11 OF 45 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



929 916150-35-1 CAPLUS
 C2 1E-Indole-1-pentanoic acid, 8-[[[3R,4S)-3-[[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-1-[[[2,3-dimethylethoxy]carbonyl]-4-piperidinyl]-, methyl ester, rel- (CA INDEX NAME)

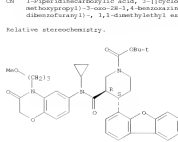
Relative stereoselectivity.



FN 91628C-36-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 3-[[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-[1-[[2-[trifluoromethyl]ethyl]methoxy]methyl]-1H-indol-6-yl]-, 1,1-dimethylethyl ester, (2R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry

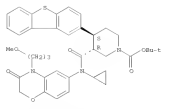
14 ANSWER 11 OF 45 CAPUS COPYRIGHT 2008 ACS on STN (Continued)



324 916 150-33-9 CAPLUS

1-Piperidinecarboxylic acid, 3-[[cyclopropyl]-3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-[2-dibenzothienyl)-, 1,1-dimethylethyl ester, [3R,4S]-rel- [CA INDEX NAME]

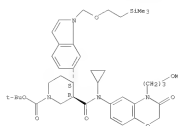
Relative stereochemistry.



IN 916150-24-0 CAPLUS
CN 1H-indole-1-pentanoic acid, 6-[(3R,4R)-3-[[cyclopropyl[3,2-dihydro-4-(3-methoxypropyl)-5-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-1-[[1,1-dimethylethoxy]carbonyl]-4-piperidinyl]-, rel- (CA INDEX NAME)

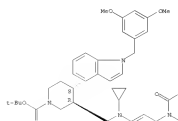
Relative stereochemistry.

14 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



NN 916150-37-3 CAPLUS
 CN 4R-1,4-Benzoxazine-4-carboxylic acid, 6-[cyclopropyl[[[3R,4S)-4-[2-[3,5-dimethoxyphenyl)methyl]-1H-indol-4-yl]-1-[[1,1-dimethylethoxy)carbonyl]-3-piperidinyl]carbonyl]amino]-2,3-dihydro-3-oxo-, 1,1-dimethylethyl ester, rac- (CA THREE NAME)

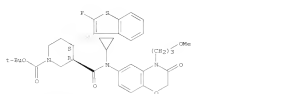
Relative stereochemistry



IN 916150-41-9 CAPLUS
 C2 1-Piperidinecarboxylic acid, 3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-[2-fluorobenzo[b]thien-3-yl]-, 1,1-dimethylethyl ester, (3R,4S)-rel-
 INDEX NAME (CA)

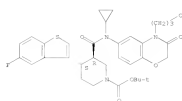
Relative stereochemistry.

L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



31 916150-49-6 CAPLUS
 CN 1-Piperidinecarboxylic acid, 3-[[cyclopropyl[7,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-(1-fluorocyclohexyl)thien-3-yl]-, 1,1-dimethylethyl ester, (79,48)-rel-
 INDEX NAME

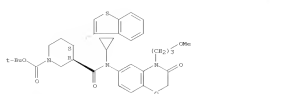
Relative stereochemistry.



32 916150-52-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-benzo[b]thien-3-yl-3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-, 1,1-dimethylethyl ester, (79,48)-rel-
 INDEX NAME

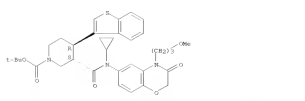
Absolute stereochemistry.

L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



33 916150-53-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-benzo[b]thien-3-yl-3-[[cyclopropyl[7,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-, 1,1-dimethylethyl ester, (79,48)-rel-
 INDEX NAME

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RS

FORMAT

L4 ANSWER 12 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

ACCESSION NUMBER: 147211842
 DOCUMENT NUMBER: 147211842
 TITLE: Synthesis of methyl 2-arylamino-4-(3-oxo-1,4-benzoxazin-6-yl)thiazole-5-acetates and (3-aryl-4-(3-oxo-1,4-benzoxazin-6-yl)-s-triazolo[3,4-b]pyridin-7-yl)acetates as possible COX-2-inhibitors

AUTHOR(S): Reddy, G. Jagadeesh, Rao, K. Srinivas; Jayarama, K. N.;
 Sankar, S.; Nekkonda, P.; Reddy, D. Shant

CONTRIBUTOR SOURCE: 3 & 4 Laboratory, Dr. Jagadeesh Reddy's heterocyclics, Hyderabad, 500 037, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2004), 42(8), 2143-2146

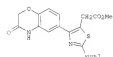
PUBLISHER: CODEN: IJOCBH; ISSN: 0376-4699

NATIONAL ID: National Institute of Science Communication and Information Resources

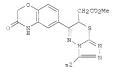
DOCUMENT TYPE: Neutral

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147211842



I



II

AS A series of Me [2-arylamino-4-(3-oxo-1,4-benzoxazin-6-yl)thiazol-5-yl]acetate (I); R¹ = H, Ph, 4-methylphenyl, 4-methoxyphenyl, 4-chlorophenyl, 4-fluorophenyl, 2,4-dichlorophenyl, 3-methoxy-4-fluorophenyl and Me [3-aryl-4-(3-oxo-1,4-benzoxazin-6-yl)-7H-1,2,4-triazolo[3,4-b]pyridin-7-yl]acetate (II); R² = Ph, 4-methylphenyl, 4-chlorophenyl, 4-fluorophenyl, 2,4-dichlorophenyl, 4-pyridyl have been synthesized and tested for their COX-2 inhibitor activity. For example, bromination of 4-(3-oxo-1,4-dihydro-2H-1,4-benzoxazin-6-yl)-4-acetatoacetic acid ester by bromine in CHCl₃ under refluxing for 3-4 h gave 95%.

1,1-benzo-7-4-thiazolo-7H-1,2,4-benzoxazin-6-yl

Habe

L4 ANSWER 12 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

6-yl)-3-bromo-4-acetatoacetic acid ester which underwent cyclocondensation with N-methanol under refluxing for 4-5 h to give 72% 4-amino-3-methoxy-5-phenyl-4H-1,2,4-triazole. None of the compounds reported herein exhibited significant inhibitions up to 100 µM except I (R¹ = H) which exhibited a low order of inhibitions (314) when compared to

meisocoxib with 50% inhibition at 1 µM.

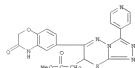
IT 944008-49, Methyl 2-[2-(4-pyridyl)-4-(3-oxo-1,4-dihydro-2H-1,4-benzoxazin-6-yl)-7H-1,2,4-triazolo[3,4-b]pyridin-7-yl]acetate

RI: 800 (Retrological study, unclassified); STM (Synthetic preparation); BTOL (Retrological study); PREP (Preparation)

2-arylamino-4-(3-oxo-1,4-benzoxazin-6-yl)thiazole-5-acetates and (3-aryl-4-(3-oxo-1,4-benzoxazin-6-yl)-s-triazolo[3,4-b]pyridin-7-yl)acetates as possible COX-2-inhibitors

944008-49-4 CAPLUS

CN 7H-1,2,4-triazolo[3,4-b]pyridin-7-yl-4-acetate, 6-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-3-(4-pyridinyl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS

FORMAT

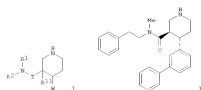
RECORD. ALL CITATIONS AVAILABLE IN THE RS

14 ANEMEX 13 OF 45 CAPS 2006-03-31 2009-02-28 ON STN
ACCESSION NUMBER: 2006-03-31 2009-02-28
DOCUMENT NUMBER: 14512468
TITLE: Preparation of 3,4-substituted piperidine compounds
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[illegible]

OTHER SOURCE(S): MARPAT 145:124468

L4 ANSWER 13 OF 45 CAPLUS COPYRIGHT 2008 ACS on 5TH (Continued)



AS The title compds. I [R1 = H, alkyl, aryl, etc.; R2 = alkyl, aryl, heterocyclyl, etc.; W = substituted Ph, pyridyl, etc.; T = methylene or carbonyl; R11 = H, OH, halo, etc.] were prepared and formulated for use

the diagnostic and therapeutic treatment of a warm-blooded animal, especially

for the treatment of a disease (or disorder) that depends on activity of renin. E.g., a multi-step synthesis of 11, starting from 4-trifluoromethanesulfonyloxy-3,6-dihydro-2H-pyridine-1,3-dicarboxylic acid 1-tert-butyl ester and 3-Me ester and 3-biphenylboronic acid, was given. Comps. I preferably show IC50 values in the range from 1 nM to 5 μ M in recombinant human renin assay (the specific data given).

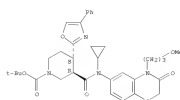
KL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BGL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3,4-substituted piperidine compds. as renin inhibitors)
 IN 897944-78-4 CAPLUS

CN 3-Piperidinecarboxamide,
N-cyclopropyl-N-[3,4-dihydro-4-(3-methoxypropyl)-
3-oxo-2H-1,4-benzoxazin-6-yl]-4-(4-phenyl-2-oxazolyl)-, (2R,4S)-rel- (C
INDEX NAME)

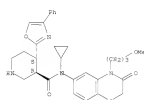
Relative stereochemistry

14 ANSWER 13 OF 45 CAPLOS COPYRIGHT 2008 ACS on STM (Continued)



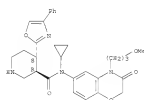
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

14 ANSWER 13 OF 45 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



920 897959-10-3 CAPL08
 C02 3-Piperidinecarboxamide,
 N-cyclopropyl-N-[3,4-dihydro-4-(3-methoxypropyl)-
 3-oxo-2H-1,4-benzoxazin-6-yl]-4-(4-phenyl-2-oxazoly)-, (3R,4S)- (CA
 INDEX NAME)

Absolute stereochemistry



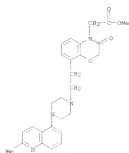
IT 897952-96-4P
 KL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); MACT
 (Reactant or reagent)

(Preparation of 3,4-substituted pyrrolidine oxides, as reagent)

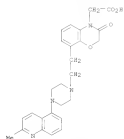
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inhibitors)
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MN 897932-96-4
 CN 1-Piperidinecarboxylic acid, 3-[[cyclopropyl[3,4-dihydro-4-(3-methoxyphenyl)-3-oxo-2H-3,4-benzoxazin-6-yl]amino]carbonyl]-4-[4-phenyl-2-oxazolin]-1,3-dimethylthio ester, (3R,6S)-rel- (CA INDEX NAME)

L4 ANSWER 16 OF 45 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)

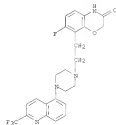


FN 876921-55-0 CAPLUS
 CN 4E-1,4-Benzoxazine-4-acetic acid, 2,3-dihydro-8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-3-oxo- (CA INDEX NAME)

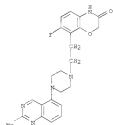


876921-56-1 CAPLUM
 2E-1,4-Benzoxazin-3(4H)-one, 8-[2-[4-[2-methyl-5-quinolinyl]-1-piperazinyl]ethyl]-4-[3,3,3-trifluoro-2-oxopropyl]- (CA INDEX NAME)

L4 ANSWER 16 OF 45 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



300 876921-84-5 CAPLUS
CN 2E-1,4-Benzoxazin-3(4H)-one,
7-fluoro-8-[(2-[4-(2-methyl-5-quinazolinyl)-1-
piperazinyl]ethyl]- (CA INDEX NAME)

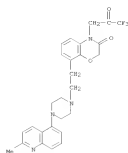


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F01  876921-95-8 CAPLUS
C01  2E-1,4-Benzoxazin-3(4H)-one, 7-methyl-8-[2-[4-(2-methyl-5-quinolinyl)-1-
      piperazinyl]ethyl]- (CA INDEX NAME)

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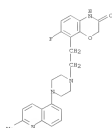
14 ANSWER 16 OF 45 CAPUS COPYRIGHT 2008 ACS on STN (Continued)



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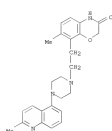
FBI 876921-75-4 CAPLOS
CN 2E-1,4-Benzoxazin-3(4H)-one, 7-fluoro-8-[2-[4-(2-methyl-5-quinoliny1)-1-
piperazinyl]ethyl]- (CA INDEX NAME)

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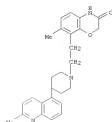


2B-1,4-Benzoxazin-3(4H)-one, 7-fluoro-8-[2-[4-[2-(trifluoromethyl)-5-quinolinyl]-1-piperazinyl]ethyl]- (CA INDEX NAME)

14 ANSWER 16 OF 45 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



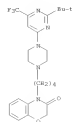
FBI 876922-38-2 CAPLUS
 CN 2E-1,4-Benzoxazin-3(4H)-one, 7-methyl-8-[2-[4-(2-methyl-5-quinoliny)-1-piperidinyl]ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANWER 17 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005134191 CAPLUS
 DOCUMENT NUMBER: 1441232020
 TITLE: Synthesis and SAR of highly potent and selective dopamine D3-receptor antagonists: Quinolone(dione) and benzazepine(dione) derivatives
 INVENTOR(S): Gensette, Hervé; Neufeld, Ghislain; Nave, Wilfried; Daiser, Jurgens; Haupt, Andreas; Hutsch, Charles
 M: King, Linda L.; Lubisch, Wilfried; Steiner, Gerd; Tachendorf, Hans-Joerges; Unger, Lilliane; Wernel, Wolfgang
 CORPORATE SOURCE: Discovery Research, Abbott GmbH & Co. KG, Ludwigshafen, D-67053, Germany
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(19), 655-662
 CODEN: BMCLDH; ISSN: 0960-5964
 PUBLISHED: Elsevier B.V.
 DOCUMENT TYPE: English
 LANGUAGE: CHEMABCT 1441232070
 OTHER SOURCE(S):
 AB The synthesis and SAR of novel and selective dopamine D3-receptor antagonists based on a 3,4-dihydro-1H-quinolin-5-one, a 1,1,4,5-tetrahydro-benzo[b]azepin-2-one, 1H-quinoline-2,4-dione or a 7,8-dihydro-1H-benzo[b]azepine-2,5-dione scaffold are discussed.
 A-704149
 [1,4-1,4-[4-(2-tert-butyl-6-(trifluoromethyl)pyrimidin-6-yl)piperazin-1-yl]butyl]-3,4-dihydro-1H-benzazepine-2,5-dione (2.15 mg/kg)
 DOI antagonizes PD 129907-induced budding deficits in rat, a social interaction paradigm.
 IT 871441-31-3
 RI PAC (Pharmacological activity); RIOL (Biological study)
 [Preparation of
 [1-tert-butyl-6-(trifluoromethyl)pyrimidin-6-yl]piperazin-1-yl]alkyl
 alkaloids derivative, and study of their activity as selective dopamine D3-receptor antagonists in comparison with quinoline and benzazepine analogs and derivatives.]
 RI 871441-31-3 CAPLUS
 CH 2E-1,4-benzoxazin-3(4H)-one, 4-[4-[4-[2-(1,1-dimethylethyl)-6-(trifluoromethyl)-4-pyrimidinyl]-3-piperazinyl]propyl]- (CA INDEX NAME)

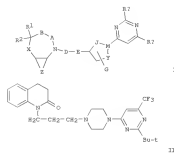
L4 ANWER 17 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RECORD.
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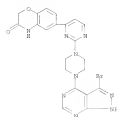
L4 ANWER 18 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005134194 CAPLUS
 DOCUMENT NUMBER: 144151603
 TITLE: Preparation of 1-(4-pyrimidinyl)piperazines as dopamine D3 receptor modulators
 INVENTOR(S): Gensette, Hervé; Haupt, Andreas; Nave, Wilfried; Lubisch, Wilfried; Steiner, Gerd; Unger, Lilliane
 PATENT ASSIGNER(S): Abbott GmbH & Co. KG, Germany
 SOURCE: PCT Int. Appl., 65 pp.
 CODEN: PTKX52
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:
 PATENT NO. KIND DATE APPLICATION NO. DATE
 WO 2005118558 A2 20051215 WO 2005-094000 20050403
 WO 2005118558 A3 20060209
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 JP 2005021662 A 20060124 JP 2007-612870 20050603
 MX 20050912839 A 20070814 MX 2006-0912839 20061130
 US 20060131322 A 20060703 US 2007-426858 20071119
 PRIORITY APPL. INFO.: DE 1004-10204027358 20040604
 WO 2005-094000 M 20050603
 OTHER SOURCE(S): MANKAT 144151603
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L4 ANWER 18 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. 1 [R1 = Rd; R2 = R = CO, CH, etc.; R = bond, CH2R1, etc.; X = O, S, NH, etc.; D = CO, bond; E = alkyls with proviso; S = aryl, heterocycle, etc.; J = CH2, CH2CH2, CH2CH2CH2; R = CH, NH, Y = CH2, CH2CH2, CH2CH2CH2, etc.; Q = (R6)R; R6 = alkyl, alkenyl, alkynyl, etc.; R = alkyl; Rd, Re = H, halo, alkyl, etc.; n = 0-1; R7, R1 = H, halo, alkyl, etc.; R8 = H, alkyl, alkenyl, etc.] and their pharmacologically acceptable salts were prepared. For example, N-alkylation of 3,4-dihydroquinolin-2(1H)-one with 2-tert-butyl-6-[4-(3-chloropropyl)piperazin-1-yl]-6-(trifluoromethyl)pyrimidine afforded the hydrochloride salt of piperazine II. In dopamine D3 receptor inhibition assays, 11- μ mol of compds. 1 exhibited Ki values ranging from 0.69-12.1 nM.
 IT 871299-24-0F 871299-24-2S 871299-24-3P, 4-[4-[4-(2-tert-butyl-6-(trifluoromethyl)pyrimidin-6-yl)piperazin-1-yl]butyl]-2E-1,4-benzoxazin-3(4H)-one 871299-30-0F, 6-Acetyl-4-[4-[4-(2-tert-butyl-6-(trifluoromethyl)pyrimidin-6-yl)piperazin-1-yl]butyl]-2E-1,4-benzoxazin-3(4H)-one 871299-30-0F, RI PAC (Pharmacological activity); RPH (Synthetic preparation); THD (Therapeutic use); RIOL (Biological study); THES (Preparation); URES (Data)
 [Preparation of 1-(4-pyrimidinyl)piperazines as dopamine D3 receptor modulators]
 RI 871299-24-0 CAPLUS
 CH 2E-1,4-benzoxazin-3(4H)-one, 4-[3-[4-[2-(1,1-dimethylethyl)-6-(trifluoromethyl)-4-pyrimidinyl]-3-piperazinyl]propyl]- (CA INDEX NAME)

14 ANSWER 20 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



14 ANSWER 20 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 2005-1162417 CAPLUS

DOCUMENT NUMBER: 14412231

TITLE: Preparation of Raf Modulators and their use in

treatment of kinase-dependent diseases

INVENTOR(S): Anand, Neel Kumar; Hickey, Charles W.; Bowles, Owen

Joseph; Busenauer, Joseph; Costantino, Simon; Cutler,

Jeffrey; Kiny Subenah; Larkins, Kenneth; Molipally, S.;

Dufina, Steven Charles; Kim, Anne L.; Nandio,

Owen-Clair, L.; Peto, Gabe J.; Rice, Kenneth D.;

Tang, Tze H.

PATENT ASSIGNOR(S): Kellera, Inc.; GSK; Bristol, Amgen; Amgen;

ECI Int. Appl.; 230 pp.

CUBER: FIDAGD

LANGUAGE: English

FAMILY NO. NUM. COUNT: 1

PATENT INFORMATION:

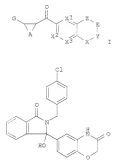
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OTHER SOURCE(S):

NOREFAT 144-22931

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14 ANSWER 20 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



14 ANSWER 20 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

NR 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]- (CA INDEX NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

CN 870601-19-7 CAPLUS

CN 28-1,4-benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-

piperidinyl)-18-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX

NAME)

AS The invention is related to compds. of formula I [A = (un)substituted

3-

to 7-membered ortho-arylene, or a 5- to 6-membered ortho-heteroarylene;

X1, X2 = independently O, -NR, SO, S(=O), S, etc.; R1, R2 = independently

absent, CN and derivative, CO, -CH and derivative, -NR, but R3, Y are not both

absent, and R3, Y are not both -NR; when both X1 and X2 are -NR; G = CHO and

derivative, (un)substituted arylalkyl, heterocycloalkyl, SR and derivative,

etc.; with the exception of certain compds., and their tautomers, and

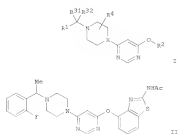
their pharmaceutically acceptable salts, hydrates and prodrugs useful for

modulating protein kinase enzymic activity for modulating cellular

activities such as proliferation, differentiation, programmed cell death,

migration and chemotaxis. Compds. I

L4 ANWER 23 OF 45 CAPSULE COPYRIGHT 2008 ACS ON SYN (Continued)



AS The title compds. 1 [X = H, Cl, Br, (un)substituted (un)saturated 5-7 membered ring containing 1-4 atoms selected from N, O and S] and 2 [X = (un)substituted partially saturated or unsatd. 8-11 membered bicyclic ring containing 1-4 atoms selected from N, O and S] R31, R32 = H, Me, Et, Pr, or R31 and R32 together may be combined with the carbon atom to which they attached to form cyclopropyl, 3d = H, Me], useful for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and non-vascular syndromes, tension headache, general inflammation, arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory component, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathic pain and associated hyperalgesia and allodynia, diabetic neuropathic pain, neuralgia, sympathetically maintained pain, deafferentation syndrome, arthralgia, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritus, vitiligo, general gastrointestinal disorders, etc., were prepared. E.g., a multi-step synthesis of 11, starting from 4-methylpyridine and 2-aminobenzothiazol-4-ol, was given. Compd. 1 was tested to evaluate their properties at human VDR [data given for representative compd. 1]. The pharmaceutical composition comprising the compound 1 is disclosed.

IT 862270-07-3P 862271-37-2P
R1, R2, R3 (Pharmacological activity): SPB (Synthetic preparation); TMS (Therapeutic use); BCL (Biological study); PREP (Preparation); USES (Uses).

L4 ANWER 24 OF 45 CAPSULE COPYRIGHT 2008 ACS ON SYN

ACCESSION NUMBERS:
143133377
143133377
Preparation of triazole derivatives as vasopressin antagonists
INVENTOR(S):
Bryant, Justin Stephens Johnson, Patrick Stephens
Roberts, Lisa Richard, Thomas, Thomas
PATENT ASSIGNOR(S):
Pfizer Inc., USA
COUNTRY:
U.S. Pat. Appl. Publ., 73 pp.
DOCUMENT TYPE:
Patent
LANGUAGE:
English
FAMILY ACC. NUM. COUNT:
1
PATENT INFORMATION:

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US 2005014624	A1	20050714	US 2004-8780	20040310
JP 2004039144	A1	20050714	JP 2004-303164	20040120
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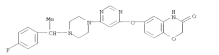
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OTHER SOURCE(S):
CASKREACT 143:133377; MARGAT 143:133377

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L4 ANWER 23 OF 45 CAPSULE COPYRIGHT 2008 ACS ON SYN (Continued)

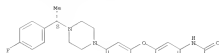
[prepn. of 4-heteroaryl-6-piperazinopyridines as venodilator reuptake ligands]
R1 862270-07-3 CAPSULE
R2 28-1,4-benzoxazin-3(4H)-one, 6-[[[4-[1-(4-[4-(benzophenyl)ethyl]-1-piperazinyl]-4-pyridinyl)oxy]- (CA INDEX NAME)



R1 862271-37-2 CAPSULE

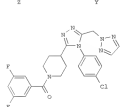
R2 28-1,4-benzoxazin-3(4H)-one, 6-[[[4-[1-(4-[4-(benzophenyl)ethyl]-1-piperazinyl]-4-pyridinyl)oxy]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANWER 24 OF 45 CAPSULE COPYRIGHT 2008 ACS ON SYN (Continued)

ACCESSION NUMBERS:
143133377
143133377
Preparation of triazole derivatives as vasopressin antagonists
INVENTOR(S):
Bryant, Justin Stephens Johnson, Patrick Stephens
Roberts, Lisa Richard, Thomas, Thomas
PATENT ASSIGNOR(S):
Pfizer Inc., USA
COUNTRY:
U.S. Pat. Appl. Publ., 73 pp.
DOCUMENT TYPE:
Patent
LANGUAGE:
English
FAMILY ACC. NUM. COUNT:
1
PATENT INFORMATION:



AS The title compds. 1 [X = (CH2)4 or (CH2)4 or (CH2)4; a = 0-4; b = 0-6; R = H, Cl, Br, or Me; R1 = (un)substituted 5- or 6-membered saturated, partially saturated or aromatic heterocyclic ring; Y = represents one or more substituents independently selected from (O)(CH2)4; a = 0-1; b = 0-6; R1 = H, halo, Cl, Br, or Me; R2 = 5- or 6-membered unsaturated heterocyclic ring; Y = a direct link or O; R3 = (un)substituted 5- to 7-membered saturated heterocyclic ring, or a phenylene group; Q = a direct link or H or R2; R2 =

alkyl; 2 = (O)(CH2)4; a Ph ring (optionally fused to a benzene ring or H); or Me; 3 (optionally fused to a benzene ring or H); R3 = (un)substituted alkyl, cycloalkyl, cycloalkenyl, Ph, etc.; a = 0-1; b = 0-6; R2 = 5-6 membered saturated, partially saturated or aromatic heterocyclic ring; R3 = 4-6 membered saturated, partially saturated or aromatic heterocyclic ring; Me4 = 6-membered aromatic heterocyclic ring, useful for treating a disorder for which a V1a antagonist is indicated, were prepared. E.g., a multi-step synthesis of 11, starting from 4-methylpyridine and 2-aminobenzothiazol-4-ol, was given. Some of the compds. 1 were synthesized as a library. All the synthesized compounds 1 showed a K_i value of less than 500 nM when tested in an assay (V1a filter binding assay). For example, the compound 11 showed a K_i of 2.98 nM.

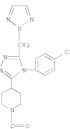
09/09/2008

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L1  REMARK 24 OF CAPLISE COPYRIGHT 2009 ACS ON STN (Continued)
L2  8/25/15-16-24
L3  P1: PAC (Pharmacological activity); SPM (Synthetic preparation); TWU
L4  (Toxicological use); ECOL (Biological study); PREP (Preparation); USER
L5  (preparation of triazole derive, as vasopressin antagonist)
P1  8/25/15-16-24
P2  8/25-14-26benzoazasin-3-ylidene, 6-chloro-4-[[[4-[4-(4-chlorophenyl)-5-(2M-
1,2,7-triazol-2-ylmethyl)-6H-1,2,4-tetrazol-3-yl]-3-piperidinyl]carbonyl]-
CA INDEX NAME)

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PAGE 1-2



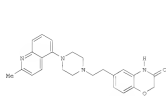
PAGE 2-8



L4 ABSTRACT 25 OF 45 CARLOS COPYRIGHT 2008 ACS on STN (Continued)

R3C1=CC=C(C=C1N2C=CC(=CC=C2)X)C3=CC=C(C=C3)C(=O)N4C=CC(=CC=C4)Y

 I



AS Title compds. 1 wherein A = (un)substituted bicyclic 6,5 or 6,6
hetero/aromatic; X1 = H, halo/cyclo/cycloalkyl/aryl/alkyl, alkenyl,
alkynyl;
p = 0-2; R2 = independently halo, halo/alkyl, CN, alkanoyl, CN and
deriv.; R3 = [R4]; R4 = halo/hydroxy/alkoxy/cyclo/alkyl, halo,
halo/aryl/alkoxy, oxo, CN, NO2, alkylthio, alkoxy carbonyl, alkylsulfonyl,
arylsulfonyl, alkylsulfonylamido, aroyl, acyl, aryl, etc.; X = C, N, O

0-2, with the proviso that when $n = 0$, X is not H ; $Z =$ attached to the α - or β -position of the benzoxazole group, and is 3- to 7-membered cycloalkylene, cycloalkenylene, or $[(CH_2)_n-CH(CR_1)(CR_2)]_m$, $n, m =$ independent 0-2; $R_1 =$ single bond, 3- to 7-membered cycloalkylene, CH_2CH_2 , $CH_2CH_2CH_2$, $CH_2CH_2CH_2CH_2$, $CH_2CH_2CH_2CH_2CH_2$, or $CH_2CH_2CH_2CH_2CH_2CH_2$; $R_2 =$ single bond, 3- to 7-membered cycloalkylene, tetrahydrofurfuryl, or 2,3-dihydroindene, Z is not $-(CH_2CH(OH))_n$, $-(CH_2CH(COR_3))_n$, $-(CH_2CH(CO_2R_4))_n$, and their pharmaceutically acceptable salts, esters, or amides; R_3 and R_4 are H or C_1 - C_4 alkyl; R_5 is a heteroatom or a heteroatom-containing group. For example, it was prepared, in 65% yield, by alkylation of 2-methyl-5-(piperazin-1-yl)quinoline (preparation given in

6-(2-chlorophenyl)-4,8-benzo-1,4-dioxane-3-one (preparation given) in the presence of NaH/NaOAc at 120° for 12 h, and acetylation with an HCl solution in MeOH. Selected 1 showed high affinity for 5-HT_{1A}, 5-HT_{1B}, 5-HT₂ and 5-HT₃ with K_i values in the range 9.0-10.0 nM in a radioligand assay. Certain appear to be 5-HT_{1A} antagonists, while others appear to be inverse agonists, agonists, or partial agonists using the [³⁵S]GTPγS binding assay. Compounds 1 and 2 displayed a 10-fold increase in the uptake of [³H]serotonin at the 5-HT₂ site. They are useful for treating CNS disorders, in particular serotonin-related disorders such as depression and anxiety.

IT 698987-93-8P, 6-[1-Hydroxy-2-[4-(2-methylquinolin-5-yl)piperazin-1-

Habte

L4 ANNEAL 25 OF 45 CAPUSL COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:451397 CAPUSL
 DOCUMENT NUMBER: 141:23540
 TITLE: Preparation of benzoxazones as ligands for 5-HT₁
 receptors and their use in the treatment of CNS
 disorders, in particular serotonin-related disorders
 INVENTOR(S): Bertani, Barbara; Borriello, Mammola; Bozzoli,
 Andrea;

Bronckos, Steven Mark; Grams, Emrico; Leslie, Colin
 Derafinowska, Halina; Stasi, Isagi; Wong, Antonio
 Suckelli, Valerie
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 121 pp.
 CODING: PIRAL2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATIENT NO.	KIND	DATE	APPLICATION NO.	DATE
NO 0004043124	A	20040403	MO 0000-071505	20031110
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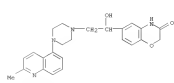
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yl]et[yl]-4R-benzo[1,4]oxazin-3-one 698990-04-4R,

6-[2-[4-(7-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-2S-
4-benzamino-3-(3,4)-one
R1: PAC (Pharmacological activity); PEP (Physical, engineering or
chemical process); PVP (Physical process); RCT (Reactant); SRH (Synthetic
preparation); TMS (Therapeutic use); ROL (Racemic use); PREP
(Preparation); PAC (Process); RAC (Reactant as reagent); SRH (Synthesis)
and their use in liquid; prep of benzamino as ligand for 5-HT₂ receptor
and their use in treatment of CRS and other serotonin-related
disorders)

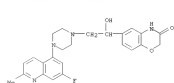
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NN 698987-93-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-hydroxy-2-[4-(2-methyl-5-quinoliny1)-1
piperazinyl]ethyl]- (CA INDEX NAME)

```



EN 696990-04-4 CAPLUS
 CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]- (CA INDEX NAME)

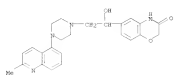


17 698886-86-8P, 6-[1-Hydroxy-2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698992-35-7P,

1-[2-[4-(1-*tert*-butyl-1H-imidazol-5-yl)-3-(quinolin-1-yl)-1-piperidinyl]-1-*hydroxyethyl*]-*en*-
1,4-benzodioxane-3(1*H*)-one
ECL PMC (Pharmacological activity); PEP (Physical, engineering or
chemical
process); PYP (Physical process); SYN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC
(Process); USES (Uses)
[5-HT₁ ligand; preparation of benzodioxones as ligands for 5-HT₁
receptors

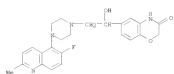
09/09/2008

L4 ANEXER 25 OF 45 CAPLUS COPRIGHT 2008 ACS ON STN (Continued)
 and their use in treatment of CNS and other serotonin-related disorders
 391 698986-35-8 CAPLUS
 CN 28-1,4-Benzoxazin-3(4R)-one, 6-[[1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-hydrochloride (1:1) (CA INDEX NAME)



● HCl

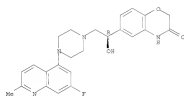
392 698992-35-7 CAPLUS
 CN 28-1,4-Benzoxazin-3(4R)-one, 6-[2-[4-(6-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]- (CA INDEX NAME)



393 698993-16-1F, 6-[[12]-1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-28-1,4-Benzoxazin-3(4R)-one hydrochloride 698993-22-2F, 6-[[13]-1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-28-1,4-Benzoxazin-3(4R)-one hydrochloride 698993-99-2F, 6-[2-[4-(7-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-18]-1-hydroxyethyl]-28-1,4-Benzoxazin-3(4R)-one hydrochloride 698993-89-2F, 6-[2-[4-(7-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-15]-1-hydroxyethyl]-28-1,4-Benzoxazin-3(4R)-one hydrochloride 698993-16-1F, 6-[2-[4-(6-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-13]-1-hydroxyethyl]-28-1,4-Benzoxazin-3(4R)-one 698993-23-2F, 6-[2-[4-(6-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-15]-1-hydroxyethyl]-28-1,4-Benzoxazin-3(4R)-one 3L PAC (Pharmacological activity); FOR (Purification or recovery); SPR (Synthetic preparation); TEO (Therapeutic use); BIO (Biological study)

L4 ANEXER 25 OF 45 CAPLUS COPRIGHT 2008 ACS ON STN (Continued)
 394 698992-99-3 CAPLUS
 CN 28-1,4-Benzoxazin-3(4R)-one, 6-[[18]-2-[4-(7-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

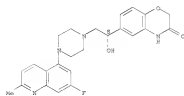
Absolute stereochemistry.



● HCl

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Absolute stereochemistry.



● HCl

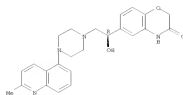
396 698993-16-7 CAPLUS
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Absolute stereochemistry.

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L4 ANEXER 25 OF 45 CAPLUS COPRIGHT 2008 ACS ON STN (Continued)
 PREP (Preparation); USES (Uses)
 (5-HT₁ ligand; prep. of benzoxazinone as ligands for 5-HT₁ receptors and their use in treatment of CNS and other serotonin-related disorders)
 397 698989-18-1 CAPLUS
 CN 28-1,4-Benzoxazin-3(4R)-one, 6-[[18]-1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

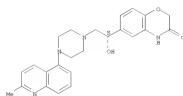
Absolute stereochemistry.



● HCl

398 698989-22-9 CAPLUS
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Absolute stereochemistry.

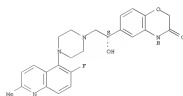


● HCl

L4 ANEXER 25 OF 45 CAPLUS COPRIGHT 2008 ACS ON STN (Continued)

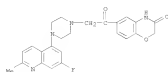
399 698993-13-0 CAPLUS
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Absolute stereochemistry.

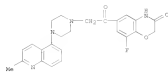


400 698986-47-2F, 6-[2-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]ethyl]-48-Benzotri[4,5-a]oxazin-3-one hydrochloride 698986-47-2F, 6-[2-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]propyl]-48-Benzotri[4,5-a]oxazin-3-one hydrochloride 698987-45-2F, 6-[1-Hydroxy-3-[4-(2-methylquinolin-5-yl)piperazin-1-yl]propyl]-48-Benzotri[4,5-a]oxazin-3-one hydrochloride 698987-73-2F, 6-[2-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]ethoxy]-48-Benzotri[4,5-a]oxazin-3-one 698987-85-1F, 7-Fluoro-6-[2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethoxy]-1-pyranol[4,5-b]oxazin-3-one 698988-41-3F, 6-[1-Methoxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-28-1,4-Benzoxazin-3(4R)-one 698988-89-2F, 6-[1-Hydroxy-1-methyl-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-28-1,4-Benzoxazin-3(4R)-one 698989-29-2F, 6-[1-(8-Quinolinyloxy)-1-piperazinyl]methyl]-28-1,4-Benzoxazin-3(4R)-one 698989-99-2F, 6-[1-(6-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]methyl]-28-1,4-Benzoxazin-3(4R)-one 698990-24-2F, 6-Fluoro-6-[1-(2-methyl-5-quinolinyl)-1-piperazinyl]methyl]-28-1,4-Benzoxazin-3(4R)-one 698990-29-2F, 8-Fluoro-6-[1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-28-

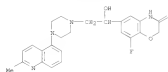
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



698990-14-8 CAPLUS
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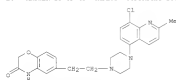


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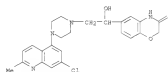


698990-44-2 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-fluoro-3-methyl-5-quinolinyl)-1-piperazinyl]acetyl]- (CA INDEX NAME)

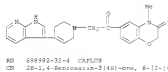
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



698991-77-4 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]- (CA INDEX NAME)

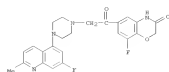


698992-02-8 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(6-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-4-methyl-1-hydroxy-2-hydroxy-3(4H)-quinolin-4-one (CA INDEX NAME)

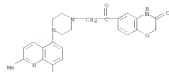


698992-32-4 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(6-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]- (CA INDEX NAME)

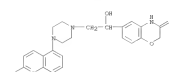
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



698990-58-8 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]- (CA INDEX NAME)

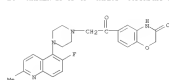


698990-69-2 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]- (CA INDEX NAME)

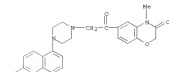


698990-83-3 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

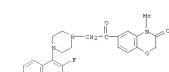
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



698992-44-8 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-4-methyl-1-hydroxy-2-hydroxy-3(4H)-quinolin-4-one (CA INDEX NAME)



698992-51-7 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(6-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-4-methyl-1-hydroxy-2-hydroxy-3(4H)-quinolin-4-one (CA INDEX NAME)

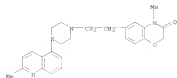


698992-64-2 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[3-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 698986-89-3 CAPLUS

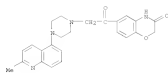
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HC1

RN 698986-92-4 CAPLUS

CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HC1

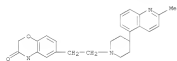
RN 698987-03-0 CAPLUS

CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

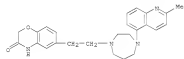
piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HC1

RN 698987-18-7 CAPLUS

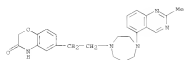
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[6-azido-4-(2-methyl-5-quinolinyl)-1,2,3,4-tetraazepin-1-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HC1

RN 698987-22-3 CAPLUS

CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[6-azido-4-(2-methyl-5-quinolinyl)-1,2,3,4-tetraazepin-1-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

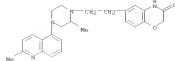


● HC1

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 698987-07-4 CAPLUS

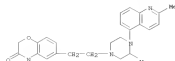
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[3-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HC1

RN 698987-07-4 CAPLUS

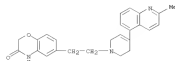
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[3-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HC1

RN 698987-10-9 CAPLUS

CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[3,6-dihydro-4-(2-methyl-5-quinolinyl)-1(2H)-pyridinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



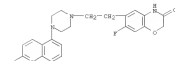
RN 698987-14-3 CAPLUS

CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 698987-26-7 CAPLUS

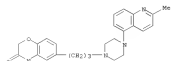
CN 28-1,4-Benzoxazin-3(4H)-one, 7-fluoro-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HC1

RN 698987-30-3 CAPLUS

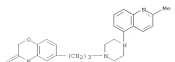
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]propyl]-, acetate (1:1) (CA INDEX NAME)



RN 698987-31-4 CAPLUS

CN 28-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]propyl]-, acetate (1:1) (CA INDEX NAME)

CN 1

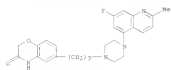
CIN 698987-30-3
CHF C25 R28 HA Q2

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

CN 2

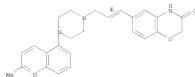
CHN 64.19-7
CMF 33.84-03

HN 698967-34-7 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(7-fluoro-2-methyl-5-quinolyl)-1-piperazinyl]propyl]- (CA INDEX NAME)



HN 698967-36-7 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[1(1E)-3-[4-(2-methyl-5-quinolyl)-1-piperazinyl]-1-propen-1-yl]-, hydrochloride (1:1) (CA INDEX NAME)

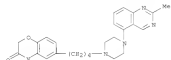
Double bond geometry as shown.



● HCl

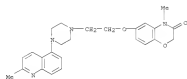
HN 698967-55-2 CAPLUS
CN 28-2,4-Benzoxazin-3(4H)-one, 6-[4-[4-(2-methyl-5-quinolyl)-1-piperazinyl]butyl]-, hydrochloride (1:1) (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

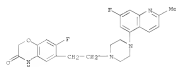


● HCl

HN 698967-75-6 CAPLUS
CN 28-2,4-Benzoxazin-3(4H)-one, 4-methyl-6-[2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethoxy]- (CA INDEX NAME)



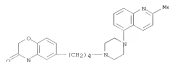
HN 698967-79-0 CAPLUS
CN 28-2,4-Benzoxazin-3(4H)-one, 7-fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

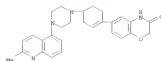
HN 698967-83-6 CAPLUS
CN 28-2,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-fluoro-2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



● HCl

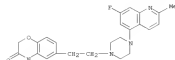
HN 698967-82-1 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[4-[4-(2-methyl-5-quinolyl)-1-piperazinyl]-1-cyclohexen-1-yl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

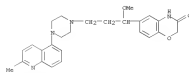
HN 698967-87-6 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[4-[4-(2-methyl-5-quinolyl)-1-piperazinyl]butyl]-, hydrochloride (1:1) (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

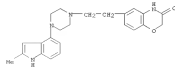


● HCl

HN 698967-98-3 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[1-methoxy-3-[4-(2-methyl-5-quinolyl)-1-piperazinyl]propyl]- (CA INDEX NAME)



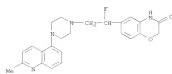
HN 698968-08-8 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinol-4-yl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



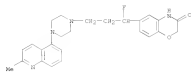
● HCl

HN 698968-31-7 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[1-fluoro-2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

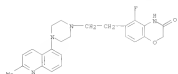
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



HN 690988-35-1 CAPLUS
CN 28-1,4-benzoxazin-3(4R)-one, 6-[1-(2-fluoro-3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]propyl)- (CA INDEX NAME)



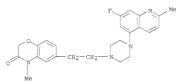
HN 690988-39-5 CAPLUS
CN 28-1,4-benzoxazin-3(4R)-one, 5-fluoro-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



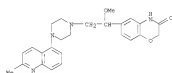
HN 690988-43-1 CAPLUS
CN 28-1,4-benzoxazin-3(4R)-one, 5-fluoro-4-methyl-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

HN 690988-54-4 CAPLUS
CN 28-1,4-benzoxazin-3(4R)-one, 6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)

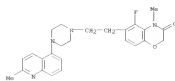


HN 690988-58-8 CAPLUS
CN 28-1,4-benzoxazin-3(4R)-one, 6-[1-methoxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

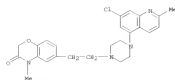


HN 690988-64-6 CAPLUS
CN 28-1,4-benzoxazin-3(4R)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

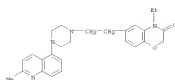
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



HN 690988-46-4 CAPLUS
CN 28-1,4-benzoxazin-3(4R)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-4-methyl- (CA INDEX NAME)

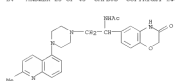


HN 690988-50-0 CAPLUS
CN 28-1,4-benzoxazin-3(4R)-one, 4-ethyl-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



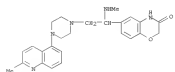
● HCl

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

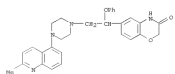


● HCl

HN 690988-67-9 CAPLUS
CN 28-1,4-benzoxazin-3(4R)-one, 6-[1-(methoxymethyl)-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

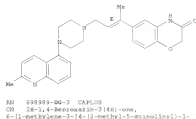
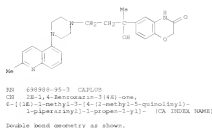
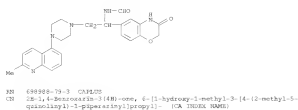


HN 690988-71-5 CAPLUS
CN 28-1,4-benzoxazin-3(4R)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]-2-phenoxymethyl]- (CA INDEX NAME)

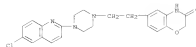
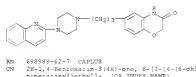
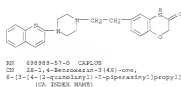
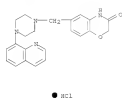


HN 690988-75-9 CAPLUS
CN 28-1,4-benzoxazin-3(4R)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

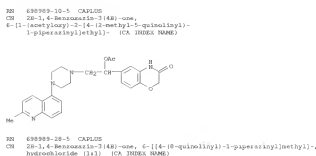
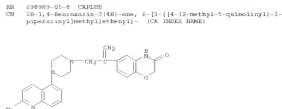
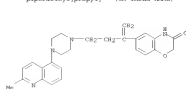
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



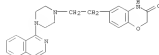
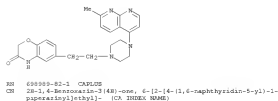
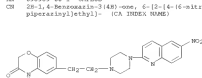
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



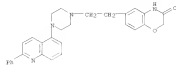
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

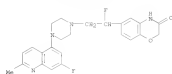


14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

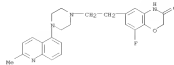
28 698990-10-2 CAPLUS
 CN 28-1,4-benzoxazin-3(4H)-one, 6-[2-(4-fluoro-2-methyl-5-quinolyl)-1-piperazinyl]ethyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

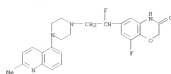
28 698990-15-7 CAPLUS
 CN 28-1,4-benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

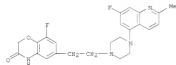
28 698990-14-0 CAPLUS
 CN 28-1,4-benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

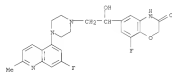
28 698990-19-5 CAPLUS
 CN 28-1,4-benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

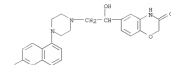
28 698990-53-3 CAPLUS
 CN 28-1,4-benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

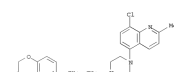
28 698990-63-5 CAPLUS
 CN 28-1,4-benzoxazin-3(4H)-one, 6-[2-[4-(8-chloro-2-methyl-5-quinolyl)-1-piperazinyl]-1-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

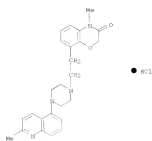
28 698990-73-7 CAPLUS
 CN 28-1,4-benzoxazin-3(4H)-one, 6-[2-[4-(8-chloro-2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



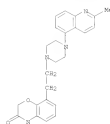
● HCl

28 698990-70-2 CAPLUS
 CN 28-1,4-benzoxazin-3(4H)-one, 6-methyl-8-[2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



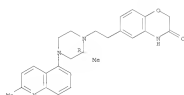
XX 698990-88-4 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-7-fluoro-], hydrochloride (111) (CA INDEX NAME)



● HCl

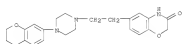
XX 698990-92-0 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[[4-(7-chloro-2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-7-fluoro-], hydrochloride (111) (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



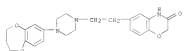
● HCl

XX 698991-00-1 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[[4-(7-bromo-1H-indol-4-yl)-1-piperazinyl]propyl]-], hydrochloride (111) (CA INDEX NAME)



● HCl

XX 698991-12-7 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[[4-(3,4-dihydro-2H-1,5-benzodioxepan-7-yl)-1-piperazinyl]ethyl]-], hydrochloride (111) (CA INDEX NAME)

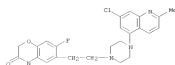


● HCl

XX 698991-16-1 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[[4-(7-bromo-1H-indol-4-yl)-1-piperazinyl]ethyl]-], hydrochloride (111) (CA INDEX NAME)

Habt

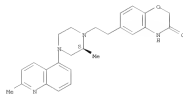
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

XX 698990-96-4 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[[2-(2-methyl-4-[[2-methyl-5-quinolyl]-1-piperazinyl]ethyl)-7-fluoro-1-piperazinyl]ethyl]-], hydrochloride (111) (CA INDEX NAME)

Absolute stereochemistry.

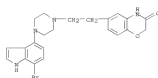


● HCl

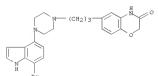
XX 698991-00-3 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[[2-(2-methyl-4-[[2-methyl-5-quinolyl]-1-piperazinyl]ethyl)-7-fluoro-1-piperazinyl]ethyl]-], hydrochloride (111) (CA INDEX NAME)

Absolute stereochemistry.

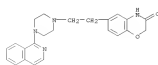
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



XX 698991-20-7 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[3-[[4-(7-bromo-1H-indol-4-yl)-1-piperazinyl]propyl]-], hydrochloride (111) (CA INDEX NAME)



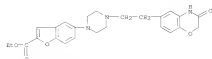
XX 698991-24-1 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[[4-(1-isoquinolyl)-1-piperazinyl]propyl]-], hydrochloride (111) (CA INDEX NAME)



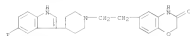
XX 698991-27-4 CAPLUS
CN 2-Benzofuranocarboxylic acid, 5-[[4-[[2-[[3,4-dihydro-2H-1,5-benzodioxepan-7-yl)-1-piperazinyl]-1-piperazinyl]-1-piperazinyl]-1-piperazinyl]-, ethyl ester (CA INDEX NAME)

09/09/2008

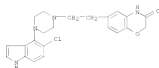
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



320 698991-33-3 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[2-[4-(5-fluoro-18-indol-3-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

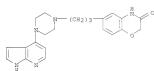


320 698991-34-5 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[2-[4-(3-chloro-18-indol-4-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

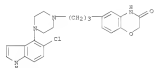


320 698991-40-1 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[2-[4-(6-chloro-18-indol-4-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

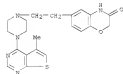
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



320 698991-58-1 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[3-[4-(3-chloro-18-indol-4-yl)-1-piperazinyl]propyl]- (CA INDEX NAME)



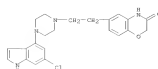
320 698991-63-8 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



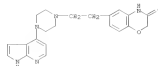
● HCl

320 698991-68-3 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethoxy]-, hydrochloride (1:1) (CA INDEX NAME)

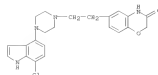
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



320 698991-45-6 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[2-[4-(18-pyrrolo[2,3-b]pyridin-4-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

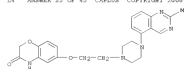


320 698991-50-3 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-18-indol-4-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



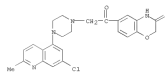
320 698991-54-7 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[3-[4-(18-pyrrolo[2,3-b]pyridin-4-yl)-1-piperazinyl]propyl]- (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



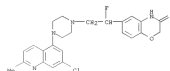
● HCl

320 698991-72-9 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



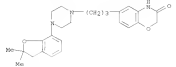
● HCl

320 698991-87-6 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolyl)-1-piperazinyl]-1-fluorothyl]- (CA INDEX NAME)

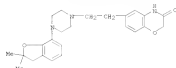


320 698991-92-3 CAPLUS
 CN 28-[1,4-benzoxazin-3(4H)-one, 6-[3-[4-(12,3-dihydro-2,3-dimethyl-7-benzofuranyl)-3-piperazinyl]propyl]- (CA INDEX NAME)

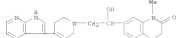
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



320 698992-91-6 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

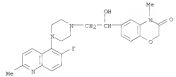


320 698992-91-3 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-fluoro-2-methyl-5-quinoliny)-1-piperazinyl]ethyl]- (CA INDEX NAME)

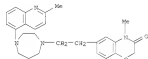


320 698992-11-9 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinoliny)-1-piperazinyl]ethyl]- (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

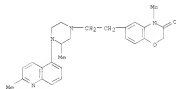


320 698992-54-2 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinoliny)-1-piperazinyl]ethyl]- (CA INDEX NAME)



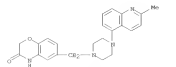
● 2 HCl

320 698992-63-3 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinoliny)-1-piperazinyl]ethyl]- (CA INDEX NAME)

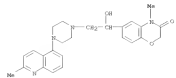


320 698992-72-2 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-chloro-2-methyl-5-quinoliny)-1-piperazinyl]ethyl]-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)

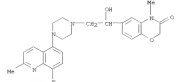
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



320 698992-40-4 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[1-hydroxy-2-[4-(2-methyl-5-quinoliny)-1-piperazinyl]ethyl]-4-methyl- (CA INDEX NAME)

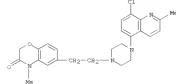


320 698992-48-2 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-fluoro-2-methyl-5-quinoliny)-1-piperazinyl]ethyl]-4-methyl- (CA INDEX NAME)



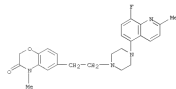
320 698992-54-0 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(6-fluoro-2-methyl-5-quinoliny)-1-piperazinyl]ethyl]-4-methyl- (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

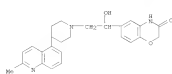
320 698992-78-8 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-fluoro-2-methyl-5-quinoliny)-1-piperazinyl]ethyl]-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

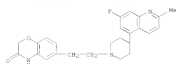
320 698992-05-4 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[1-hydroxy-2-[4-(2-methyl-5-quinoliny)-1-piperazinyl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



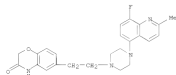
● HCl

30 698993-12-3 CAPLUS
 CN 28-1,4-benzodioxin-3(4H)-one, 6-[2-[[4-(7-fluoro-2-methyl-5-quinolyl)-1-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

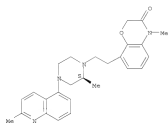


● HCl

30 698993-22-5 CAPLUS
 CN 28-1,4-benzodioxin-3(4H)-one, 6-[2-[[4-(8-fluoro-2-methyl-5-quinolyl)-1-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

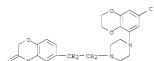


14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



● HCl

30 698993-14-3 CAPLUS
 CN 28-1,4-benzodioxin-3(4H)-one, 6-[2-[[4-(7-chloro-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

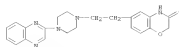


● HCl

30 698993-57-6 CAPLUS
 CN 28-1,4-benzodioxin-3(4H)-one, 6-[2-[[4-(7-fluoro-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

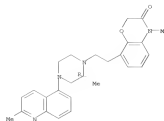
30 698993-28-1 CAPLUS
 CN 28-1,4-benzodioxin-3(4H)-one, 6-[2-[[4-(2-quinolazinyloxy)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



30 698993-31-6 CAPLUS

CN 28-1,4-benzodioxin-3(4H)-one, 6-methyl-8-[2-[[2,3-dimethyl-4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

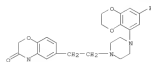


● HCl

30 698993-36-1 CAPLUS
 CN 28-1,4-benzodioxin-3(4H)-one, 6-methyl-8-[2-[[2,3-dimethyl-4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

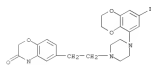
Absolute stereochemistry.

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



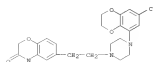
● HCl

30 698993-60-1 CAPLUS
 CN 28-1,4-benzodioxin-3(4H)-one, 6-[2-[[4-(7-fluoro-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

30 698993-63-4 CAPLUS
 CN 1,4-benzodioxin-6-carbonitrile, 8-[4-[2-[[3,4-dihydro-3-oxo-2H-1,4-benzodioxin-5-yl]ethyl]-1-piperazinyl]-2,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

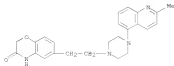


● HCl

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

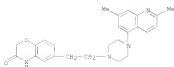
XN 63933-47-8 CAPLUS

CN 28-1,4-Benzoxazin-3(4R)-one, 6-[2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- [CA INDEX NAME]



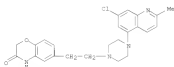
XN 63933-71-4 CAPLUS

CN 28-1,4-Benzoxazin-3(4R)-one, 6-[2-[4-(7,7-dimethyl-5-quinolyl)-1-piperazinyl]ethyl]- [CA INDEX NAME]



XN 63933-74-7 CAPLUS

CN 28-1,4-Benzoxazin-3(4R)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- [CA INDEX NAME]

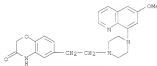


XN 63933-77-5 CAPLUS

CN 28-1,4-Benzoxazin-3(4R)-one, 6-[2-[4-(4-quinolyl)-1-piperazinyl]ethyl]- [CA INDEX NAME]

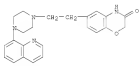


14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



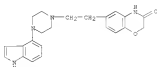
XN 63933-89-4 CAPLUS

CN 28-1,4-Benzoxazin-3(4R)-one, 6-[2-[4-(8-quinolyl)-1-piperazinyl]ethyl]- [CA INDEX NAME]



XN 63933-91-8 CAPLUS

CN 28-1,4-Benzoxazin-3(4R)-one, 6-[2-[4-(18-indol-4-yl)-1-piperazinyl]ethyl]- [CA INDEX NAME]

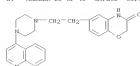


XN 63933-98-2 CAPLUS

CN 28-1,4-Benzoxazin-3(4R)-one, 6-methyl-6-[2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- [CA INDEX NAME]

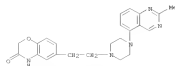


14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



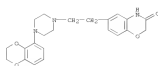
XN 63933-80-5 CAPLUS

CN 28-1,4-Benzoxazin-3(4R)-one, 6-[2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- [CA INDEX NAME]



XN 63933-83-8 CAPLUS

CN 28-1,4-Benzoxazin-3(4R)-one, 6-[2-[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]- [CA INDEX NAME]

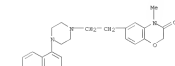


XN 63933-87-2 CAPLUS

CN 28-1,4-Benzoxazin-3(4R)-one, 6-[2-[4-(6-methoxy-8-quinolyl)-1-piperazinyl]ethyl]- [CA INDEX NAME]

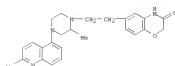


14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



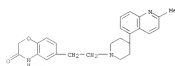
XN 63933-97-4 CAPLUS

CN 28-1,4-Benzoxazin-3(4R)-one, 6-[2-[2-methyl-4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- [CA INDEX NAME]



XN 63934-02-4 CAPLUS

CN 28-1,4-Benzoxazin-3(4R)-one, 6-[2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- [CA INDEX NAME]

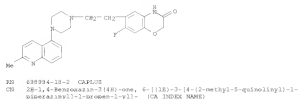
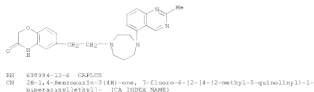
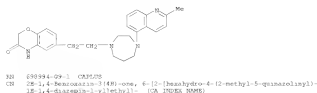


XN 63934-06-8 CAPLUS

CN 28-1,4-Benzoxazin-3(4R)-one, 6-[2-[2-methyl-4-(2-methyl-5-quinolyl)-18-1,4-diazepin-1-yl]ethyl]- [CA INDEX NAME]

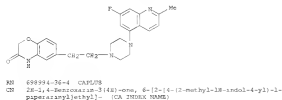
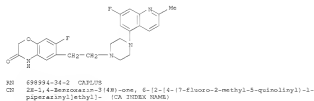
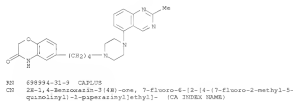


14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

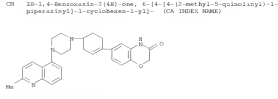
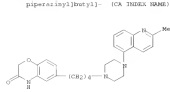
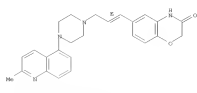


Double bond geometry as shown.

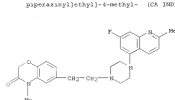
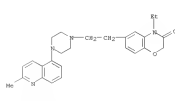
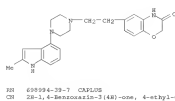
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



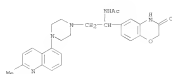
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



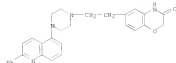
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



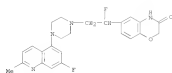
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IN 698994-52-4 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-phenyl-5-quinolyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

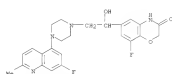


IN 698994-54-8 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[1-fluoro-2-[4-(7-fluoro-2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

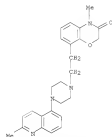


IN 698994-60-4 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

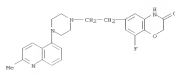


IN 698994-74-0 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 4-methyl-8-[2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

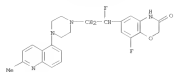


IN 698994-77-3 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 8-[2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

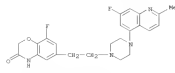
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IN 698994-82-7 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[1-fluoro-2-[4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



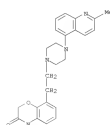
IN 698994-85-0 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



IN 698994-70-6 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolyl)-1-piperazinyl]-1-hydroxyethyl]- (CA INDEX NAME)

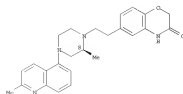
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IN 698994-81-3 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[(2S)-2-methyl-4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

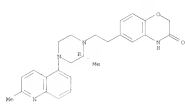
Absolute stereochemistry.



IN 698994-83-1 CAPLUS
CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[(2S)-2-methyl-4-(2-methyl-5-quinolyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

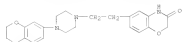
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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720  E00094-05-1  CAPLUS
CN   2E-1,4-Benzoxazin-3(4H)-one,
6-[2-(4-[2,3-dihydro-1,4-benzodioxin-6-yl]-1-
piperazinyl)ethyl]- (CA INDEX NAME)

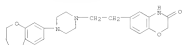
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C02  2E-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-[3,4-dihydro-2H-1,5-benzodioxepin-7-yl]-1-piperazinyl]ethyl]- (CA INDEX NAME)

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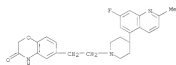


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FN  690994-09-7  CAPLUS
CN  2E-1,4-Benzoxazin-3(4H)-one,
6-[2-[(4-{[5-methylthieno(2,3-d)pyrimidin-4-yl]-
1-piperazinyl}ethyl)- (CA INDEX NAME)

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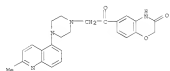
14 ANSWER 25 OF 45 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)



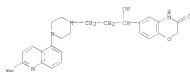
21 699966-39-1, 4-[2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethanoyl]-48-benzo[1,4-benzoxazin-3-one 699968-02-3, 6-[1-Hydroxy-3-[4-(2-methylquinolin-5-yl)piperazin-3-yl]propyl]-48-benzo[1,4-oxazin-3-one 699968-84-0, 6-[3-[4-(2-Methylquinolin-5-yl)piperazin-3-yl]propenyl]-48-benzo[1,4-oxazin-3-one 699991-82-1, 6-[2-[4-(7-Chloro-2-methylquinolin-5-yl)piperazin-1-yl]ethanoyl]-48-benzo[1,4-benzoxazin-3-one 699993-39-4, 4-Methyl-8-[1-(2S)-2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-28-1, 4-benzoxazin-3(4H)-one

EL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzoxazinones as ligands for 5-HT₁ receptors and
their use
in treatment of CNS and other serotonin-related disorders)

IN treatment of CNS and other serotonin-related disorders;
 RXN 698986-99-1 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-
 piperazinyl]acetyl]- (CA INDEX NAME)

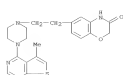


RN 698988-03-3 CAPLUS
 CN 2E-1,4-benzoxazin-3(4H)-one, 6-[1-hydroxy-3-[4-(2-methyl-5-quinolanyl)-1-
 naphthalenyl]propyl]- (CA INDEX NAME)



Habte

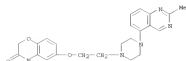
14 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on 5TH (Continued)



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IN  698994-92-2  CAPLUS
CN  2B-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinazolinyl)-1-
    piperazinyl]ethoxy]- (CA INDEX NAME)

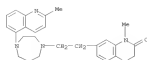
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EN 696994-94-4  CAPLUS
CN 2E-1,4-Benzoxazin-3(4H)-one,
6-[2-[6-azahydro-4-(2-methyl-5-quinolinyl)-1H-
1,4-diazepin-1-yl]ethyl]-4-methyl-  (CA INDEX NAME)

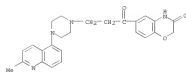
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EN 69894-98-8 CAPLUS
 CN 2E-1,4-Benzoxazin-3(4E)-one, 6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)

14 ANSWER 25 OF 45 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)

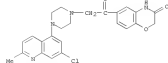
JN	698988-84-0	CAPLUS
CN	2H-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]-1-oxopropyl]- (CA INDEX NAME)	



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NN  698991-82-1  CAPLUS
CN  2E-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolinyl)-1-
    piperazinyl]acetyl]- (CA INDEX NAME)

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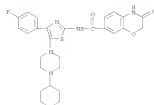


EN 698993-39-4 CAPLOS
 CN 28-1,4-Benzoxazin-3(4H)-one, 4-methyl-8-[2-[(2S)-2-methyl-4-(2-methyl-5-quinolanyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry

09/09/2008

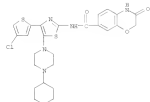
L4 ANSWER 29 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



●: R1

RN 576465-11-7 CAPLUS

CH 28-1,4-Benzoxazine-7-carboxamide, N-[(4-(4-chloro-2-thienyl)-1-(4-cyclohexyl-1-piperazinyl)-3-thienyl)-1,4-dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)



●: R1

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

ACCESSION NUMBER: 2001795210 CAPLUS

DOCUMENT NUMBER: 13724982

TITLE: Preparation of piperazinylpyrazinyl arylalkyl ethers as 5-HT2C receptor agonists

INVENTOR(S): Willson, Betsy Tejbant, Jay Pelman, Benjamin

Johnson, Ricky Thor, Markus Willson, Jonas

Johnson,

Patent

PATENT ASSIGNOR(S): Biocritum AB, Sweden

SOURCE: U.S., 45 pp., Cont.-In part of U.S. Ser. No. 572,348, abandoned

CODEN: USXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION: 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4483467	A1	20021015	US 2000-589282	20000609
EA 2001059576	A	20021120	EA 2001-9571	20011120
US 2002029424	A1	20030315	US 2002-049670	20021011
US 678462	A2	20040706		
AC 2004022227	AO	2004-202027	20040234	20040422
US 2004024214	A1	20041202	US 2004-673852	20040422
US 7071180	B2	20060704		

PRIORITY APPL. INFO.

SE 1999-1884 A 19990521

US 1999-137527 P 19990603

US 2000-573348 X2 20000519

AO 2000-49490 A3 20000519

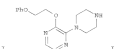
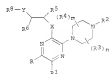
US 2000-589282 A3 20000609

US 2002-269670 A1 20021011

OTHER SOURCE(S):

CS

MAGNET 137:294982



AS The title compds. (I) [wherein X and Y = independently O, S, or NR7; R and R1 = independently H, alkyl, or halo; or ORR1 = optionally halo

Habe

L4 ANSWER 29 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



●: R1

RN 576465-11-7 CAPLUS

CH 28-1,4-Benzoxazine-7-carboxamide, N-[(4-(4-chloro-2-thienyl)-1-(4-cyclohexyl-1-piperazinyl)-3-thienyl)-1,4-dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)



●: R1

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

ACCESSION NUMBER: 2001795210 CAPLUS

DOCUMENT NUMBER: 13724982

TITLE: Preparation of piperazinylpyrazinyl arylalkyl ethers as 5-HT2C receptor agonists

INVENTOR(S): Willson, Betsy Tejbant, Jay Pelman, Benjamin

Johnson, Ricky Thor, Markus Willson, Jonas

Johnson,

Patent

PATENT ASSIGNOR(S): Biocritum AB, Sweden

SOURCE: U.S., 45 pp., Cont.-In part of U.S. Ser. No. 572,348, abandoned

CODEN: USXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION: 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4483467	A1	20021015	US 2000-589282	20000609
EA 2001059576	A	20021120	EA 2001-9571	20011120
US 2002029424	A1	20030315	US 2002-049670	20021011
US 678462	A2	20040706		
AC 2004022227	AO	2004-202027	20040234	20040422
US 2004024214	A1	20041202	US 2004-673852	20040422
US 7071180	B2	20060704		

PRIORITY APPL. INFO.

SE 1999-1884 A 19990521

US 1999-137527 P 19990603

US 2000-573348 X2 20000519

AO 2000-49490 A3 20000519

US 2000-589282 A3 20000609

US 2002-269670 A1 20021011

OTHER SOURCE(S):

CS

MAGNET 137:294982

CHN 312655-11-7 CAPLUS

CHN 28-1,4-Benzoxazine-7-carboxamide, N-[(4-(4-chloro-2-thienyl)-1-(4-cyclohexyl-1-piperazinyl)-3-thienyl)-1,4-dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)

(2E)-5-butenedinitate (1:1) (92C) (CA INDEX NAME)

CHN 312655-11-7 CAPLUS

CHN 28-1,4-Benzoxazine-7-carboxamide, N-[(4-(4-chloro-2-thienyl)-1-(4-cyclohexyl-1-piperazinyl)-3-thienyl)-1,4-dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)

(2E)-5-butenedinitate (1:1) (92C) (CA INDEX NAME)

CHN 312655-11-7 CAPLUS

CHN 28-1,4-Benzoxazine-7-carboxamide, N-[(4-(4-chloro-2-thienyl)-1-(4-cyclohexyl-1-piperazinyl)-3-thienyl)-1,4-dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)

(2E)-5-butenedinitate (1:1) (92C) (CA INDEX NAME)

CHN 312655-11-7 CAPLUS

CHN 28-1,4-Benzoxazine-7-carboxamide, N-[(4-(4-chloro-2-thienyl)-1-(4-cyclohexyl-1-piperazinyl)-3-thienyl)-1,4-dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)

(2E)-5-butenedinitate (1:1) (92C) (CA INDEX NAME)

CHN 312655-11-7 CAPLUS

CHN 28-1,4-Benzoxazine-7-carboxamide, N-[(4-(4-chloro-2-thienyl)-1-(4-cyclohexyl-1-piperazinyl)-3-thienyl)-1,4-dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)

(2E)-5-butenedinitate (1:1) (92C) (CA INDEX NAME)

CHN 312655-11-7 CAPLUS

CHN 28-1,4-Benzoxazine-7-carboxamide, N-[(4-(4-chloro-2-thienyl)-1-(4-cyclohexyl-1-piperazinyl)-3-thienyl)-1,4-dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)

(2E)-5-butenedinitate (1:1) (92C) (CA INDEX NAME)

CHN 312655-11-7 CAPLUS

CHN 28-1,4-Benzoxazine-7-carboxamide, N-[(4-(4-chloro-2-thienyl)-1-(4-cyclohexyl-1-piperazinyl)-3-thienyl)-1,4-dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)

(2E)-5-butenedinitate (1:1) (92C) (CA INDEX NAME)

CHN 312655-11-7 CAPLUS

CHN 28-1,4-Benzoxazine-7-carboxamide, N-[(4-(4-chloro-2-thienyl)-1-(4-cyclohexyl-1-piperazinyl)-3-thienyl)-1,4-dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)

(2E)-5-butenedinitate (1:1) (92C) (CA INDEX NAME)

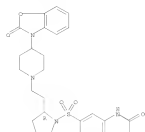
CHN 312655-11-7 CAPLUS

CHN 28-1,4-Benzoxazine-7-carboxamide, N-[(4-(4-chloro-2-thienyl)-1-(4-cyclohexyl-1-piperazinyl)-3-thienyl)-1,4-dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)

(2E)-5-butenedinitate (1:1) (92C) (CA INDEX NAME)

09/09/2008

14 ANSWER 31 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RECORD.

FORMAT

14 ANSWER 31 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002-370207 CAPLUS
 1361356581
 TITLE: Combinations of D4 dopamine receptor antagonists with acetylcholinesterase inhibitors for the treatment of dementia or cognitive deficits associated with Alzheimer's Disease or Parkinson's Disease
 INVENTOR(S): Plick, Adam Frank (owner); Gasser, Mark Allen; Stern, Steven Howard
 PATENT ASSIGNOR(S): Eisai Products Inc., USA
 SOURCE: Eur. Pat. Appl., 26 pp.
 CDBID: EPCALM
 EPCALM: Patent
 LANGUAGE: English
 FAMILY EXT. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1201268	A2	2000-05-02	EP 2001-300953	20011002
EP 1201268	A3	20040102		
	B1	AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE, NO, PT, IE, SI, LT, LV, FI, RO, MW, CY, AU, TH		
US 20020023373	A1	20020502	US 2001-931551	20010916
CA 2359877	A1	20020426	CA 2001-2359877	20011024
MX 20010410072	A	20020506	MX 2001-2410072	20011025
EP 2001004830	A	20020528	EP 2001-4830	20011026
JP 2002043934	A	20020505	JP 2002-370803	20021104
PRIORITY APPL. INFO.:			US 2000-243547P	F 20001026

OTHER SOURCE(S): NANPAT 1361356581

AB The invention discloses a method of treating dementia or cognitive deficits associated with Alzheimer's disease or Parkinson's disease in a mammal, including a human, by administering to the mammal a D4 dopamine receptor antagonist in combination with an acetylcholinesterase inhibitor.

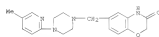
Also disclosed are pharmaceutical compositions containing a pharmaceutically acceptable carrier, a D4 dopamine receptor antagonist and an acetylcholinesterase inhibitor.

IT 200194-60-1 200194-60-7 200194-67-8
 200194-68-9 200194-94-1

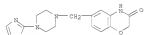
RU, YU (Pharmacological activity); TW (Therapeutic use); ZL (Biological study); US (Uses)
 D4 dopamine receptor antagonist-acetylcholinesterase inhibitor combination for treatment of dementia or cognitive deficit associated with Alzheimer's or Parkinson's disease)

RU 200194-60-1 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[[4-(5-methyl-2-pyridinyl)-1-piperazinyl]methyl]- (CA INDEX NAME)

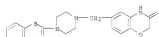
14 ANSWER 31 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



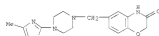
RU 200194-66-7 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



RU 200194-67-8 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[[4-(2-benzothiazolyl)-1-piperazinyl]methyl]- (CA INDEX NAME)

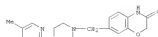


RU 200194-69-9 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 6-[[4-(4,5-dimethyl-2-thiazolyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



RU 200194-84-1 CAPLUS
 CN 28-1,4-Benzoxazin-3(4H)-one, 7-[[4-(5-methyl-2-pyridinyl)-1-piperazinyl]methyl]- (CA INDEX NAME)

14 ANSWER 31 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 34 OF 45 CAPLOS COPYRIGHT 2008 ACS ON STM (Continued)
 US 2000-212453 P 20000616
 EP 2001-940240 A1 20010613
 WO 2001-08406 W 20010613
 US 2002-315927 A1 20021209
 TR 2003-0814 A1 20030106

OTHER SOURCE(S): MORGAT 13647558
 US

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

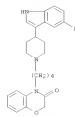
AB The title compds. [1] one of Y1, Y2 = N, which is bound to Y4, and the other Y1 and Y2 = CO, CH, SO, etc; Y4 = CH, CO, CH, etc; Y3 = CH₂, CH₂, CH₂CH₂; Z = O, S, N = a bond, O, S, etc.; n = 0-9; n = 0-9; n = 1-10; X = C, CH, N; R1-R3 = H, halogen, CH, etc.; R10 = N, alkyl, aryl, etc.,

which are dopamine and serotonin receptor ligands, and are useful in the treatment of certain psychiatric and neural disorders, i.e., schizophrenia, other psychoses, anxiety disorders, depression, migraine, cognitive disorders, ADHD and sleep improvement, were prepared and formulated. Thus, reacting 5-fluoro-2-(piperidin-4-yl)-18-indole with 1-(2-chlorophenyl)-1,4-dihydroquinolin-1(1H)-one in the presence of STM as DMS and butanone afforded 11 which showed 90% inhibition of the binding of [³H]5H-T4 to human dopamine D4 receptors at 50 nM.

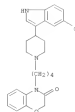
IT 380611-32-39 380612-33-39
 RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TEO (Therapeutic use); ROL (Biological study); PREP (Preparation of indole deriva. for the treatment of CNS disorders)

RI 380611-32-39 CAPLOS
 CH 28-1,4-Benzoxazin-3(4H)-one, 4-[4-(4-(5-fluoro-18-indol-3-yl)-1-piperidinyl)butyl]- (CA INDEX NAME)

L4 ANSWER 34 OF 45 CAPLOS COPYRIGHT 2008 ACS ON STM (Continued)



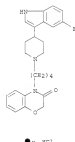
RI 380612-33-39 CAPLOS
 CH 28-1,4-Benzoxazin-3(4H)-one, 4-[4-(4-(5-chloro-18-indol-3-yl)-1-piperidinyl)butyl]- (CA INDEX NAME)



IT 380611-60-39 380611-61-39
 RI: PAC (Pharmacological activity); SPN (Synthetic preparation); TEO (Therapeutic use); ROL (Biological study); PREP (Preparation of indole deriva. for the treatment of CNS disorders)

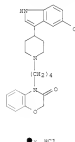
RI 380611-60-39 CAPLOS
 CH 28-1,4-Benzoxazin-3(4H)-one, 4-[4-(4-(5-fluoro-18-indol-3-yl)-1-piperidinyl)butyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 34 OF 45 CAPLOS COPYRIGHT 2008 ACS ON STM (Continued)



● RI: CCL

RI 380611-61-0 CAPLOS
 CH 28-1,4-Benzoxazin-3(4H)-one, 4-[4-(4-(5-chloro-18-indol-3-yl)-1-piperidinyl)butyl]-, hydrochloride (1:1) (CA INDEX NAME)



● RI: CCL

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE FS

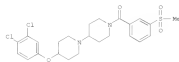
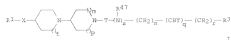
FORMAT

L4 ANSWER 35 OF 45 CAPLOS COPYRIGHT 2008 ACS ON STM
 ACCESSION NUMBER: 200176289 CAPLOS
 DOCUMENT NUMBER: 135181419 WO 2001-08791
 TITLE: Synthesis of substituted bisperidines and their use as R1 antagonists
 INVENTOR(S): Lawrence, Loulay; Rigby, Aaron; Sangames, Nitish
 PATENT ASSIGNOR(S): AstraZeneca AB, Swed.
 SOURCE: PCT Int. Appl., 160 pp.
 COUNTRY: FINLAND
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077102	A1	20010703	WO 2001-08791	20010405
WI AE, AU, AL, AM, AT, AU, BE, BR, BS, BY, CA, CH, CN, CO, CR, CY, CZ, DE, DK, EA, EG, FI, GR, GB, HU, IL, IN, JP, KE, KG, KP, KR, KZ, LA, LU, LV, LY, MA, MD, ME, MG, MK, MN, MU, MV, MY, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, RU, SD, SE, SG, SI, SK, SL, SV, TH, TJ, TR, TT, UA, UG, UZ, VC, VN, YU, ZA, ZM				
WI GR, HU, IL, IN, JP, KE, KG, KP, KR, KZ, LA, LU, LV, LY, MA, MD, ME, MG, MK, MN, MU, MV, MY, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, RU, SD, SE, SG, SI, SK, SL, SV, TH, TJ, TR, TT, UA, UG, UZ, VC, VN, YU, ZA, ZM				
CA 2403612	A1	20010108	CA 2001-2403612	20010405
EP 1274763	A1	20000115	EP 2001-920853	20010405
EP 1274763	B1	20000629		
RI AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, SI, TR, TJ, LV, FI, RO, MK, CY, AL, TR				
BR 2002009922	A	20020118	BR 2003-09922	20010405
CN 1432411	A	20010710	CN 2001-826883	20010405
JP 2003030393	T	20011014	JP 2003-578574	20010405
WO 521543	A	20010829	WO 2001-521543	20010405
EP 1437743	A1	20000105	EP 2004-20599	20010405
EP 1437743	B1	20000603		
RI AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, SI, TR, TJ, LV, FI, RO, MK, CY, AL, TR				
AT 238748	T	20000715	AT 2001-920053	20010405
CA 146039	A	20000331	CA 2004-1052245	20010405
AU 2001146997	B2	20010129	AU 2001-146997	20010405
US 20020077337	A1	20020620	US 2001-827488	20010405
WO 452670	B2	20010215		
SA 3002007700	A1	20010102	SA 2002-7700	20010905
WO 2002047414	A	20011129	WO 2002-4714	20011003
MO 2002PA00885	A1	20020327	MO 2002-PA00885	20011007
US 2004000080	A1	20040129	US 2003-243027	20011013
EP 0903115	B2	20000607		
US 2004014783	A1	20040129	US 2003-436582	20010513
US 7238811	A1	20020703		
HK 1051193	A1	20011028	HK 2001-103142	20010515
US 2005017092	A1	20050108	US 2005-17092	20010510
US 717922	B2	20021020		
US 2007017927	A1	20070127	US 2007-732411	20070403
PRIORITY AFFILI. INFO.:			GB 2000-8626	A 20000400
			GB 2000-1911	A 20000907

14	AMMER 35 OF 43	CARLOS	COPYRIGHT 2009 ACS ON STN	(Continued)
			SR 2000-3644	A 20001011
			CN 2001-810683	A3 20010405
			KP 2001-920053	A3 20010405
			MO 2001-86751	W 20010405
			OS 2001-827488	A3 20010406
			OS 2003-341027	A3 20030137
			US 2003-436882	A3 20030533

OTHER SOURCE(S): MARJAT 135:318419
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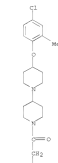


C(0), C₆, S(0); [q, s, t = -1 to 1], r = 0 to 1/2, p = 0 to 2 X = CH₃. Title Comp., G, S, S(0). G, S provided that when m and n are both 1 then X is sec Cy or NMe₂, O, S(0), C(S), S(0), C(S); R¹: H, alkyl, aryl, heteroalkyl; R²: H, alkyl, aryl, heteroalkyl; R³: H, NO-alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, thioaryl, thiocarbonylmethyl were prepared. Examples include data for over 600 compounds. Solid state forms of the title compound and its hydrochlorate for Ca⁺⁺ flux, human osteophilic chemotaxis and H1 antagonist. E.g., 4-[3-(4-dichlorophenoxy)pyridazin-2-yl]alkylated with 1-tert-butylpyrrolidin-1-yl-piperidone, 1,2-dichloroethane, NaH(OAc)₂, 70°C, 18 hr, room temperature to give an intermediate 1,4-bis(piperidine). This intermediate was deprotected (DCM, TFA, 6 h, room temperature) and the result.

14 MISSOURI, 75, OF 65, CAPTION CORRECTION, 2008, ACS, on 5/20 (Continued)

28-1,4-Benzoxazin-3(4H)-one, 4-[2-[4-(4-chloro-2-methylphenoxy)]2,4'-bipiperidin-1'-yl]-2-oxoethyl)- (CA INDEX NAME)

PAGE 1-A

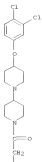


PAGE 2-8



R00 367500-45-6 CAPLOS
 C02 2E-1,4-Benzoxazin-7(4H)-one, 4-[2-[4-(4-chloro-2-methylphenoxy)]1,4'-bipiperidin]-2'-yl]-2-oxoethyl- (CA INDEX NAME)

L4 ANMER 35-5 OF CAPLOS COPYRIGHT 2008 ACS on SYN (Continued)
bipiperidine condensed with 3-methanethiophenylacetic acid (THF, PyBOP, 10 min, 10 h, room temp.) to give example 36mpd. 11 isolate as the
isolate state. 1:are used in the synthesis of a dendron (such as CC33)
or H mediated disease state.
37 367639-24-9F 367500-44-9F 367500-45-9F
38 (Biological) Biological activity or property, except abnormal; BEU
(Biological) study, unclassified; SYN (Synthetic preparation); 780 (Therapeutic use);
BEU (Biological) study, unclassified; SYN (Synthetic preparation); 780 (Therapeutic use);
(drug) synthesis of substituted bipiperidine and use as R1
antagonists
BEU 367499-14-5F CAPLOS
EN 2E-1, 4-Benzoxazepin-3(4H)-one, 6-[2-(4-(3,4-dichlorophenyl)-1,4'-

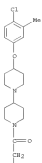


PAGE 1-8

367500-44-5 CAPL08

14 ANSWER 75 OF 45 CARLOS CORVEIGRET 2008 ACS on SEN (Continued)

PAGE 1-3

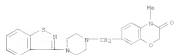


PAGE 2-2



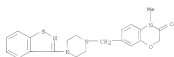
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 41 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)
 AS Title compds. [I; R1 = H, alkyl, arylpiperidinylalkyl; n = 0, 1; A = O, S]
 X = CH₃, bond; Y = CH₂; H, Ar = (substituted) Ph, naphthyl, pyridyl, pyrimidinyl, benzisothiazolyl, etc.), were prepared. Thus, 3-methyl-6-benzoxymethylbenzoxazolone (preparation given) was refluxed with
 H₂O, N-(2-methoxyphenyl)piperazine, and K₂ in acetone to give 53
 3-methyl-6-[[4-[[5-methoxyphenyl]piperazin-1-yl]methyl]benzoxazolone.
 The latter antagonized D₄ receptors with K₅₀ = 2.59 nM. A 1 drug
 formation is given.
 IT 20155-58-7P 20155-81-9P
 RI RAC (biological activity or effector, except adverse) B5D
 (biochem)
 stody, unclassified) SPH (Synthetic preparation) T5D (Therapeutic use)
 R10C (biological study) P15D (Preparation) U51S (Uses)
 [preparation of piperazinylmethylbenzoxazolones,
 -benzoxazolones, and related compds. as central nervous system agents]
 RI 20155-58-7 CAPLUS
 CH 28-1, 4-Benzoxazol-3(4H)-one, 7-[[4-[[1,2-benzisothiazol-3-yl]-1-
 piperazinyl]methyl]-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● R01

RI 20155-81-8 CAPLUS
 CH 28-1, 4-Benzoxazol-3(4H)-one, 7-[[4-[[1,2-benzisothiazol-3-yl]-1-
 piperazinyl]methyl]-4-methyl-, (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 42 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)
 ACCESSION NUMBER: 1997010731 CAPLUS
 DOCUMENT NUMBER: 12845128
 ORIGINAL INVENTION NO.: 12812011a, 12014a
 TITLE: Preparation of benzoxazolones as dopamine D₄ receptor
 antagonists
 INVENTOR(S): Bellotti, Thomas; Wise, Lawrence David; Westrow,
 David Jurgens
 PATENT ASSIGNOR(S): Warner-Lambert Company, USA; Bellotti, Thomas
 SOURCE: PCT Int. Appl., 43 pp.
 DOCUMENT TYPE: OTHER P. 10/0292
 LANGUAGE: Patent
 FAMILY AC. NUM. COUNT: English
 PATENT INFORMATION: 1

PATENT NO.	INTD	DATE	APPLICATION NO.	DATE
WO 9741419	A1	19971204	MO 1997-085524	19970520
W: AL, AR, BA, BN, BR, CA, CH, CN, DE, DK, ES, FI, FR, GB, GR, HU, IL, IN, JP, KR, LG, LU, LV, MD, ME, MW, MY, NZ, NO, PE, PL, PT, RU, SE, SG, SI, SK, SW, TH, UA, US, VE, VN, YU, ZH				
IN 1997023228	A	20000311	IN 1997-061330	19970519
AO 9703727	A	19980105	AO 1997-307627	19970520
AT 731104	A2	20010392		
EP 902194	A1	19990407	EP 1997-924561	19970520
EP 902194	B1	20000329		
FI: AT, BE, CH, DE, ES, FR, GB, GR, IT, LU, NL, NO, SE, MC, PT, SI, SK, TH, UA, US, VE, VN, YU, ZH				
CH 1213930	A	19990616	CH 1997-195015	19970520
CH 1014704	A	19990622	HE 1997-332737	19970520
BR 9706630	A	19990810	BR 1997-3630	19970520
RU 972177	A	20000205	RU 1999-3758	19970520
EP 990178	A2	20000208	EP 1999-3758	19970520
DE 1992738	A1	20000728		
JP 200151234	A	20000905	JP 1997-424647	19970520
IL 126935	A	20010614	IL 1997-126935	19970520
EE 3646	A	20020115	EE 1998-437	19970520
BR 282103	B6	20011105	BR 1998-1627	19970520
AT 231246	A	20020115	AT 1997-924561	19970520
PT 904134	T	20000630	PT 1997-924561	19970520
ES 212186	A	19991230	ES 1997-4884	19970520
NO 120445	B2	20000930	NO 1998-1622	19970520
CA 2351404	A	19971204	CA 1997-252406	19970520
DE 142377	A	20000721	DE 1997-96107221	19970520
GB 970301	B1	20000821	GB 1997-301	19970520
US 6174612	B1	20010123	US 1998-180746	19981104
NO 46049	B1	20011128	NO 1998-102959	19981126
NO 980531	A	19981127	NO 1998-5531	19981127
NO 312294	B1	20000420		
BR 2000016131	A	20000203	BR 1998-709719	19981128
HK 1021777	A1	20020705	HK 1999-104967	19991028
POLICITY APPL. INFO:			US 1996-183839	P 19960529

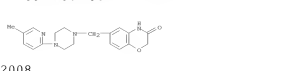
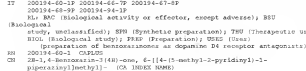
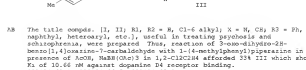
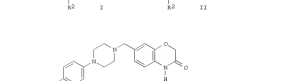
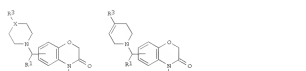
L4 ANSWER 43 OF 45 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

OTHER SOURCE(S): MARPAT 128:61518
 SI 200194-60-1P 200194-66-7P 200194-66-1P
 RI RAC (biological activity or effector, except adverse) B5D
 (biochem)
 stody, unclassified) SPH (Synthetic preparation) T5D (Therapeutic use)
 R10C (biological study) P15D (Preparation) U51S (Uses)
 [preparation of benzoxazolones as dopamine D₄ receptor antagonists]
 RI 20155-58-7 CAPLUS
 CH 28-1, 4-Benzoxazol-3(4H)-one, 6-[[4-[[5-methoxyphenyl]piperazin-1-yl]
 piperazinyl]methyl]- (CA INDEX NAME)

OTHER SOURCE(S): MARPAT 128:61518
 SI 200194-60-1P 200194-66-7P 200194-66-1P
 RI RAC (biological activity or effector, except adverse) B5D
 (biochem)
 stody, unclassified) SPH (Synthetic preparation) T5D (Therapeutic use)
 R10C (biological study) P15D (Preparation) U51S (Uses)
 [preparation of benzoxazolones as dopamine D₄ receptor antagonists]
 RI 20155-58-7 CAPLUS
 CH 28-1, 4-Benzoxazol-3(4H)-one, 6-[[4-[[5-methoxyphenyl]piperazin-1-yl]
 piperazinyl]methyl]- (CA INDEX NAME)

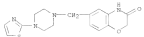


OTHER SOURCE(S): MARPAT 128:61518
 SI 200194-60-1P 200194-66-7P 200194-66-1P
 RI RAC (biological activity or effector, except adverse) B5D
 (biochem)
 stody, unclassified) SPH (Synthetic preparation) T5D (Therapeutic use)
 R10C (biological study) P15D (Preparation) U51S (Uses)
 [preparation of benzoxazolones as dopamine D₄ receptor antagonists]
 RI 20155-58-7 CAPLUS
 CH 28-1, 4-Benzoxazol-3(4H)-one, 6-[[4-[[5-methoxyphenyl]piperazin-1-yl]
 piperazinyl]methyl]- (CA INDEX NAME)

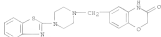


14 ANSWER 42 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

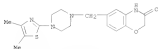
380 200194-04-7 CAPLUS
 CH 28-1,4-Benzoxazin-3(4H)-one, 6-[[4-(2-thiazolyl)-3-piperazinyl]methyl]-
 (CA INDEX NAME)



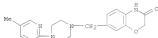
381 200194-01-8 CAPLUS
 CH 28-1,4-Benzoxazin-3(4H)-one, 6-[[4-(2-benzothiazolyl)-3-piperazinyl]methyl]- (CA INDEX NAME)



382 200194-68-9 CAPLUS
 CH 28-1,4-Benzoxazin-3(4H)-one, 6-[[4-(4,5-dimethyl-2-thiazolyl)-3-piperazinyl]methyl]- (CA INDEX NAME)



383 200194-94-1 CAPLUS
 CH 28-1,4-Benzoxazin-3(4H)-one, 7-[[4-(5-methyl-2-pyridinyl)-3-piperazinyl]methyl]- (CA INDEX NAME)



14 ANSWER 43 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 19891570460 CAPLUS
 DOCUMENT NUMBER: 109170460
 ORIGINAL REFERENCE NO.: 109182794, 282626
 TITLE: Preparation of pyrimidinylpiperazine compounds as
 antianxiety agents
 INVENTOR(S): Nakano, Tatsuo; Ohta, Minoru; Morita, Kenji; Morimoto,
 Yasuhiro; Anami, Kozutake
 PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY NO.: 1
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63146872	A	19880618	JP 1987-346134	19870701
PRIORITY APPL. INFO.			JP 1986-160441	19860708

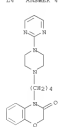
OTHER SOURCE(S): MAKINT 109170460
 QT For diagram(s), see printed CA issue.
 AS Title compda. 2 (A = CH3)C33R4, CH3C33, CCR35, S(O)C37R5; R1 - R8 =
 H,
 lower alkyl; R9, R10 = H, halo, OH, lower alkyl, lower alkoxy; n = 0, 1,
 2 and their acid salts, useful as antianxiety agents, are prepared
 6-chloro-7-methyl-1,2,3,4-tetrahydroquinolin-2-one (7.2 g) was treated
 with 4-[[4-(2-pyrimidinyl)piperazin-1-yl]butyl chloride in acetone
 containing

7-Me)
 30% at room temperature for 5 h to give 2 (A = CH2CH3, R3 = 6-Cl, R10 =
 H).
 (2), which was treated with HCl-MeCN to give 22. 22 (22.1 g, 100%). In
 the water-lick test in mice, 22 at 10 mg/kg i.p. significantly shortened
 the time interval between the last drinking-electrode and the next one
 compared with the control without administration. 2 (A = CH2CH3, R3 = R10
 = H) was also prepared by treatment of
 1-(4-bromophenyl)-2,2-dihydroquinolin-
 2-one with 4-(2-pyrimidinyl)piperazine.

IT 116936-99-JP 116937-03-29
 R1, R2 (Synthetic preparation) PREP (Preparation)
 (Preparation of, as antianxiety agent)

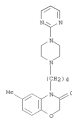
380 200194-99-3 CAPLUS
 CH 28-1,4-Benzoxazin-3(4H)-one,
 4-[[4-(4-(2-pyrimidinyl)-3-piperazinyl]butyl]-
 , dihydrochloride (PCT) (CA INDEX NAME)

14 ANSWER 43 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

381 116937-03-2 CAPLUS
 CH 28-1,4-Benzoxazin-3(4H)-one, 6-methyl-4-[[4-(2-pyrimidinyl)-3-piperazinyl]butyl]- (CA INDEX NAME)



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14 44 14MMER 44 OF 43 CARLOS COPYRIGHT 2008 ACS ON STN
ACCESSION NUMBER: 19861118159
DOCUMENT NUMBER: 104317849
ORIGINAL REFERENCE NO.: 104216794,216454
TITLE: Preparation of (heterocycloxyalkyl)piperazines
SUBTITLE: -heterocycloxyindanes as antiapoptotics
INVENTOR(S): Negashita, Bradley E; Swadlow, Roxane A.; Jans, Usa C.;
PATENT ASSIGNER(S): C. Miss, Lawrence D.
PUBLICATION NUMBER: Warner-Lambert Co., USA
COUNTRY: Eng. Pat. Appl., 14 pp.
ORDIN: EPK0400
PRIORITY:
LANGUAGE: English
FAMILY ACC. DTM. COUNT: 1
PUBLICATION INFORMATION:

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PATIENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 2371781	AJ	19870923	EP 1987-101298	19870221
EP 2371781	EP	19870126		
EP 2371781	EP	19870424		
RA AT, ES, CR, DR, ES, FPA, CH, ST, LI, LS, ML, SE				19861015
US 4704304	A	19870101	US 1986-92467	
JG 62252103	A	19870104	EP 1987-28394	19861022
AT 62004	T	19950515	AT 1987-101928	19861023
ES 2042262	EP	19870516	EP 1987-101928	19861023
CA 1280156	C	19930226	CA 1987-528650	19861023
US 4801203	A	19860907	US 1987-62175	19870616
PRIORITY APPL. INFO.	US	1986-829076	A	19860423
			US 1986-924627	A
			EP 1987-101928	A
			EP 1987-101928	A

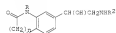
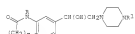
OTHER SOURCE(S): CASREACT 108:131859; MARPAT 108:131859
GI For diagram(s), see printed CA issue.
AB The title compds. [1] R = (un)substituted Ph, pyridinyl, pyrimidinyl,

indicated by dotted line is present, C₁A = 5- or 8-membered N- and/or O-containing heterocycle fused to the benzene ring; n = 2-5) and their pharmacological activity. The following compounds were synthesized: 1. 2-phenyl-2,3-dihydro-2-methoxy-2,3-dimethyl-4H-benzopyran-4-one was depropylated (64%) by refluxing in pyridine-HCl and the product was distilled with 1-phenylpyrrolidine 18 h at 80-90°C in DMF containing NaClO₄ to give 2-phenyl-2,3-dihydro-2-methoxy-2,3-dimethyl-4H-benzopyran-4-one (18% yield). In rats 18 inhibited locomotor activity with an ED₅₀ of 5.9 mg/kg i.p. and displaced haloperidol from rat striatal membrane with an IC₅₀ of

ST 123456-72-ZP
 EL: EAC (Biological activity or effector, except adverse); ESU
 (Biological
 study, unclassified); SPH (Synthetic preparation); TSU (Therapeutic use
 B10L (Biological study); PPEP (Preparation); USES (Uses)

L4 NUMBER 45 OF THE CAPLUS COPYRIGHT 1920 ACS on STN
 ACCESSION NUMBER: 376135683 CAPLUS
 DOCUMENT NUMBER: 84:135683
 ORIGINAL REFERENCE NO.: 41:22039, 22042a
 TITLE: Phenylalkalannones
 INVENTOR(S): Menstrup, Arthur; Schroten, Kurt; Benke, Ernst O.
 PATENT AGENT(S): Kuehn, Wolfgang
 PATENT ASSIGNER(S): Boehringer, C. H., Sohn, Ltd. Fed. Rep. Ger.
 SOURCE: Ger. Offen., 39 pp.
 CDD: CDD: 670.01
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2429253	A1	19760215	DE 1974-2429253	19740619
PRIORITY APPL. INFO.:			DE 1974-2429253	A 19740619



A2 Sympathomolytic, sedative, analgesic, anticholinergic (no data)
alkanolamines I (R = H, Me; R1 = Ph, substituted phenyl), naphthyl,
2-pyridyl; n = 0, 1) and II (R2 = substituted phenylalkyl, phenoxyalkyl,
[48 compds.]) were prepared. Thus I (R = H, R1 = 2-MeOC6H4, n = 3) was
obtained by NaBH4 reduction of the ketone prepared by brominating III

IT 58920-25-0P 58920-55-6P 58920-56-7P
K1: 892 (Synthetic preparation); PEP (Preparation)

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      (preparation of)
J01 58820-23-0 CAPLUS
CN  2E-1,4-Benzoxazin-3(4H)-one, 6-[[4-(2-pyridinyl)-1-piperazinyl]acetyl]
      dihydrochloride (HCl) (CA INDEX NAME)

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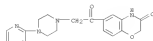
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L4 ANSWER 44 OF 45 CAPLUS COPYRIGHT 2008 ACS on SYN (Continued)
    (pregn. of, as antipsychotic)
RN 113499-72-2 CAPLUS
CN 2H-3,4-Benzoxazin-3[4H]-one, 6-[3-[4-(2-pyrimidinyl)-1-
    piperazinyl]propyl]- (CA INDEX NAME)

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14 ANSWER 45 OF 45 CAPLOS COPYRIGHT 2008 ACS on STN (Continued)

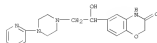


● 5. 407

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F01  58820-35-E  CAPLUS
CN   2R-1,4-Benzoxazin-3(4R)-one, 6-[1-hydroxy-2-[4-(2-pyridinyl)-1-
      piperazinyl]ethyl]- (CA INDEX NAME)

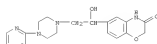
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HN 56820-56-7 CAPLUS
 CN Sulfamic acid, cyclohexyl-, compd. with
 6-[1-hydroxy-2-[4-(2-pyridinyl)-1-
 piperazinyl]ethyl]-2,3,4-benzoxazin-3(4H)-one (2:1) (SCI) (CA INDEX

91 3

CEN 50820-55-6
CNE C19 H22 N4 O



2 3

CRN 100-88-9
CWE C6 H11 N O3 2

